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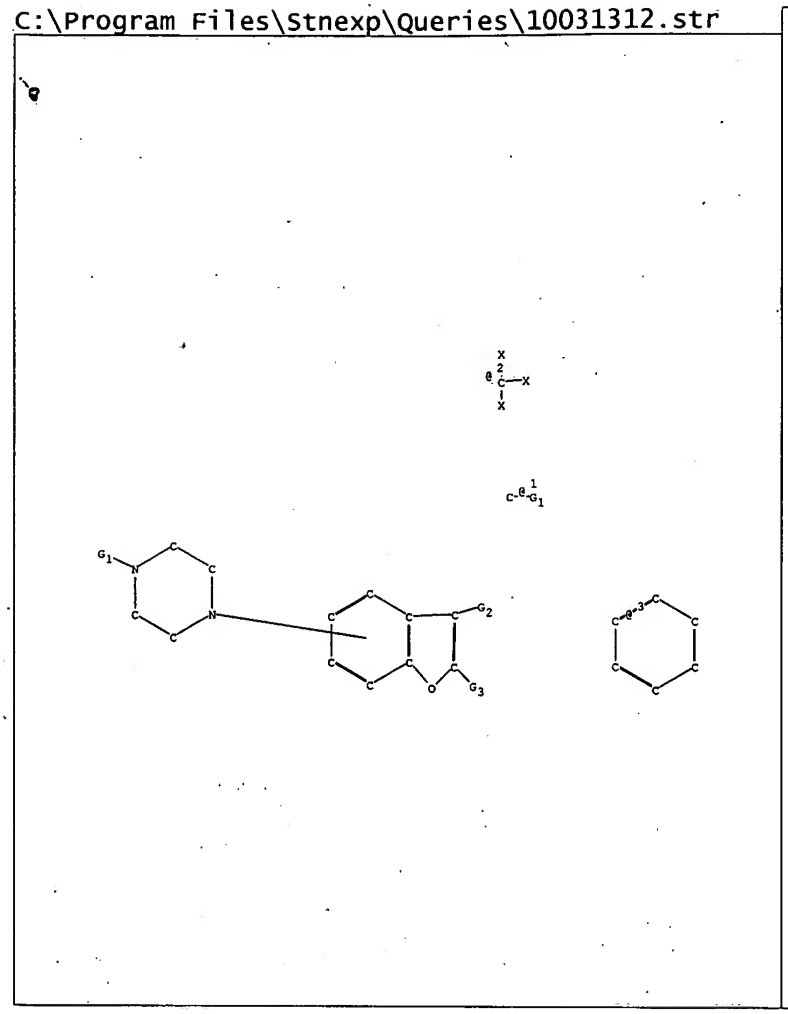

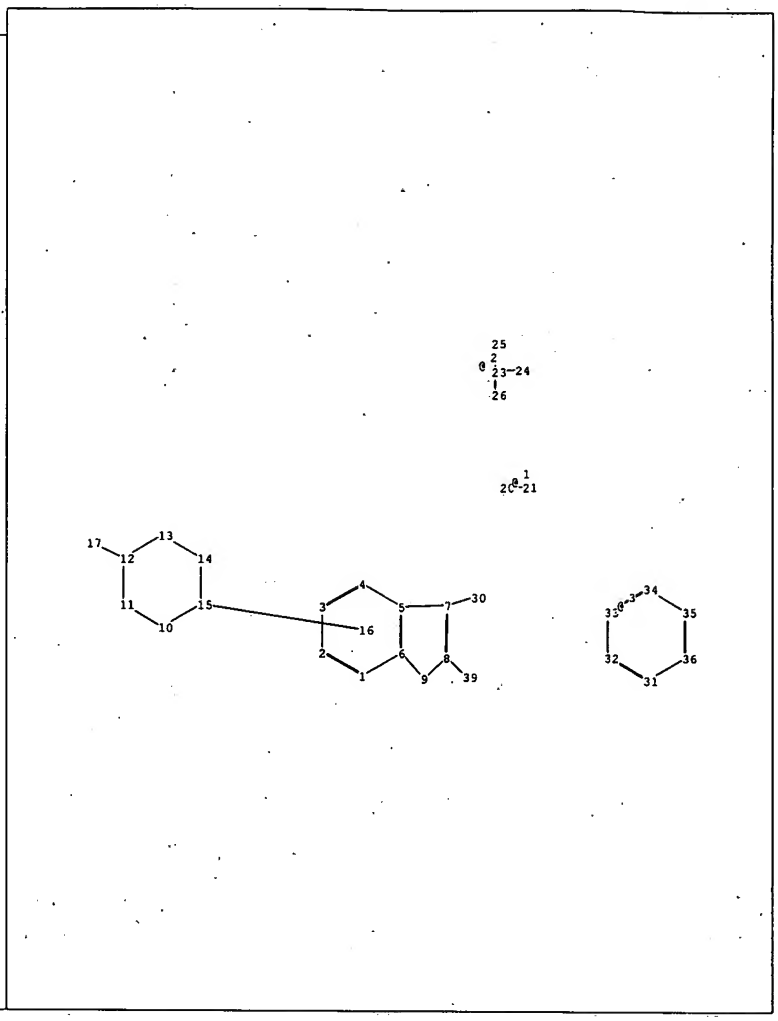

chain nodes :
17 20 21 23 24 25 26 30 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 31 32 33 34 35 36
chain bonds :
7-30 8-39 12-17 20-21 23-25 23-24 23-25 23-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 31-32 31-36 32-33 33-34 34-35 35-36
exact/norm bonds :
7-30 8-39 10-11 10-15 11-12 12-13 12-17 13-14 14-15 20-21
exact bonds :
5-7 6-9 7-8 8-9 23-25 23-24 23-25 23-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 31-32 31-36 32-33 33-34 34-35 35-36
isolated ring systems :
containing 1 : 10 :

G1:H,CH3

G2:H,X,[*1],[*2]

G3:H,X,[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 20:CLASS 21:CLASS 23:CLASS
24:CLASS 25:CLASS 26:CLASS 30:CLASS 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom
36:Atom 39:CLASS

10/031312

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=> s l1
SAMPLE SEARCH INITIATED 16:36:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    324 TO ITERATE

100.0% PROCESSED     324 ITERATIONS                          11 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5401 TO     7559
PROJECTED ANSWERS:              21 TO      417

L2            11 SEA SSS SAM L1

=> d l2 1-11
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=> s l1 sss full
FULL SEARCH INITIATED 16:36:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6167 TO ITERATE

100.0% PROCESSED    6167 ITERATIONS                          206 ANSWERS
SEARCH TIME: 00.00.01

L3          206 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             167.03     167.45

FILE 'CAPLUS' ENTERED AT 16:36:53 ON 28 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 28 Oct 2003  VOL 139 ISS 18
FILE LAST UPDATED: 27 Oct 2003  (20031027/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4          33 L3

=> d l4 1-33 bib abs hitstr
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L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:301077 CAPLUS
DN 138:304309
TI Preparation of 2-(heterocyclylalkyl)-1,2,3,4-tetrahydroquinolines and analogs as 5-HT1A receptor inhibitors for treatment of urinary tract disorders
IN Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa, Rodolfo; Corbett, Jeff W.
PA Recordati S.A., Switz.; Recordati Industria Chimica e Farmaceutica S.p.A.
SO PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031436	A1	20030417	WO 2002-EP11282	20021007
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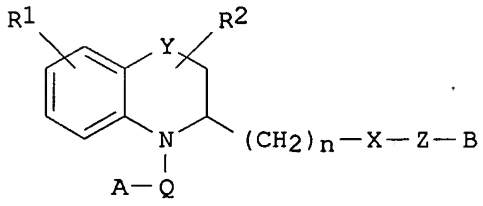
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003162777	A1	20030828	US 2002-266104	20021007
	US 2003181446	A1	20030925	US 2002-266088	20021007
PRAI	IT 2001-MI2060	A	20011005		
	US 2002-350680P	P	20020122		

OS MARPAT 138:304309
GI



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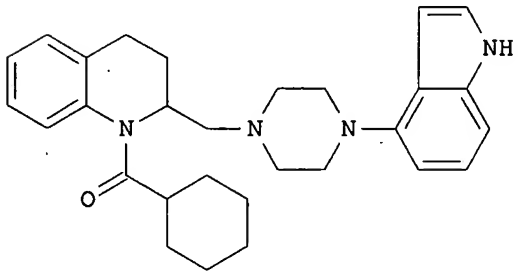


II

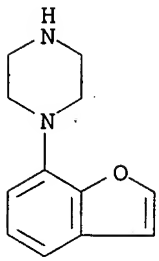
AB Title compds. I [wherein R1 = H, halo, OH, (halo)alkyl, (halo)alkoxy, NO2,

NR3R4, or (un)substituted Ph or heterocyclyl; R2 = 1 or 2 substituents selected from H or alkyl; R3 and R4 = independently H, alkyl, acyl, or alkoxycarbonyl; Y = a bond or CH2; Q = CO, CS, or SO2; A = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, aryl, heterocyclyl, (di)alkylamino, arylamino, or arylalkylamino; n = 1 or 2; X = (un)substituted piperidinyl or piperazinyl; Z = a bond, O, S, CH2, CH2CH2, CO, CHOH, OCH2, NH, NHCO, or NHCONHCH2; or ZB = 2,3-dihydrobenzo[1,4]dioxin-2-yl; B = (un)substituted monocyclic or bicyclic (hetero)aryl; with provisos; and enantiomers, diastereomers, N-oxides, cryst. forms, hydrates, solvates, or pharmaceutically acceptable salts thereof] were prepd. as serotonergic receptor antagonists. For example, coupling of 2-chloromethylquinoline with 1-(4-indolyl)piperazine in the presence of DIPEA in DMF gave 1-(4-indolyl)-4-(quinolin-2-ylmethyl)piperazine (70%), which was hydrogenated using PtO2/AcOH/H2 to provide the tetrahydroquinoline deriv. (76.5%). Amidation with cyclohexanecarbonyl chloride in the presence of TEA in CH2Cl2 afforded II (81%). The (+)- and (-)-enantiomers were sepd. via chiral column chromatog. II inhibited the human 5HT1A-serotonergic receptor in transfected HeLa cells with Ki of 3.3 nM, while (+)-II showed a binding affinity with Ki of 0.2 nM. Similarly, (+)-II proved more effective than II in suppressing the frequency of rhythmic bladder-voiding contractions in rats with ED50 values of 24 .mu.g/kg and 64 .mu.g/kg, resp. In addn., (+)-II exhibited significant and long-lasting post-synaptic 5-HT1A-receptor antagonist activity by suppressing forepaw treading induced by 8-OH-DPAT in rats with 100% inhibition after 0.5 h and 98% inhibition after 4 h of administration of a dose of 1 mg/kg p.o. By contrast, (-)-II showed only 19% inhibition after 0.5 h and 5% inhibition after 4 h of administration of a dose of 1 mg/kg p.o.

IT **98224-26-1**, 1-(7-Benzofuranyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (aminoalkyl)- and (heterocyclylalkyl)tetrahydroquinoline 5-HT1A antagonists from haloalkylquinolines and amines or heterocycles for treatment of urinary tract and CNS disorders)

RN 98224-26-1 CAPLUS

CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:977792 CAPLUS
DN 138:55982
TI Preparation of 1-(6-phenylpyridine-2-carbonyl)piperazine derivatives as phosphodiesterase (PDE) IV inhibitors
IN Iwata, Masahiro; Kawano, Noriyuki; Kaizawa, Hiroyuki; Takuwa, Tomofumi; Tsukamoto, Issei; Seo, Ryushi; Yahiro, Kiyoshi; Kobayashi, Miki; Takeuchi, Makoto
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002102778	A1	20021227	WO 2002-JP5926	20020613
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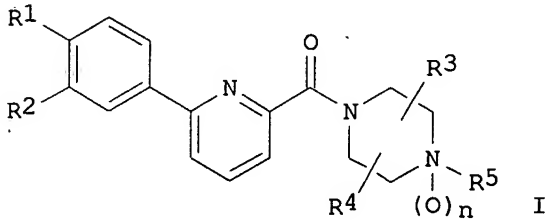
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2003064057	A2	20030305	JP 2002-172377	20020613
PRAI	JP 2001-182296	A	20010615		

OS MARPAT 138:55982
GI



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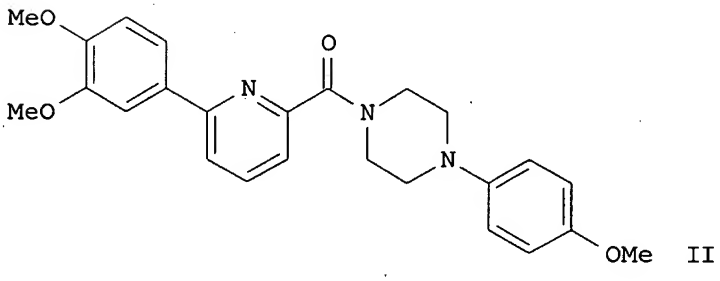


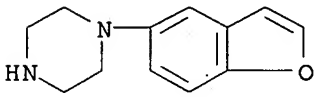
II

AB The title compds. I [wherein R1 and R2 = independently H, halo, alkyl, (un)substituted alkyloxy, amino, alkylamino(alkoxy), dialkylamino(alkoxy), NHCO-alkyl, O-alkylene-CO2R0, or (hetero)cyclylalkoxy; or R1 and R2

together form a ring; R0 = H, alkyl, or (un)substituted PhCH2; R3 and R4 = independently H, (un)substituted alkyl, halo, CO2R0, CONH2, CONR0-alkyl, (un)substituted (hetero)cyclyl(carbonyl), alkyl-CO, or CN; or R3 and R4 together are alkylene or oxo; R5 = H, alkyl, (alkylene)CO2R0, CONH2, CONR0-alkyl, alkyl-CO, (un)substituted (hetero)cyclyl(hydrocarbonyl), (hetero)cyclyl(alkylenyl)(carbonyl), CO2-alkylene-(hetero)cyclyl, or carbamoyl, etc.; n = 0-1; with provisos] and pharmaceutically acceptable salts thereof are prepd. as PDE IV inhibitors. I are useful for the prevention and treatment of respiratory tract diseases, asthma, and chronic obstructive pulmonary diseases (COPD) (no data). For example, a THF soln. of 6-(3,4-dimethoxyphenyl)pyridine-2-carboxylic acid (prepn given) was treated with oxalic chloride, followed by the addn. of 4-(4-methoxyphenyl)piperazine (prepn given) in the presence of pyridine to afford the piperazine II. II showed IC50 of <12 nM against PDE IV.

IT **206347-31-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of phenylpyridinecarbonylpiperazine derivs. as PDE IV inhibitors)

RN 206347-31-1 CAPLUS
CN Piperazine, 1-(5-benzofuranyl)- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:964319 CAPLUS
DN 138:39302
TI Preparation of substituted sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes
IN Beierlein, Katarina; Bremberg, Ulf; Caldirola, Patrizia; Jenmalm Jensen, Annika; Johansson, Gary; Mott, Andrew; Tedenborg, Lars; Thor, Markus
PA Biovitrum AB, Swed.
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100822	A1	20021219	WO 2002-SE1126	20020611
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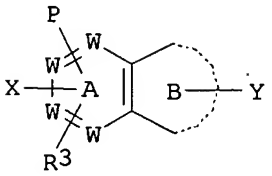
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 2003158202	A1	20030821	US 2002-167141	20020611
PRAI	SE 2001-2048	A	20010611		
	SE 2001-2386	A	20010703		
	SE 2001-3437	A	20011016		

OS MARPAT 138:39302
GI



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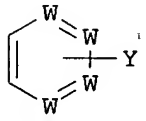

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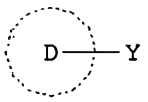

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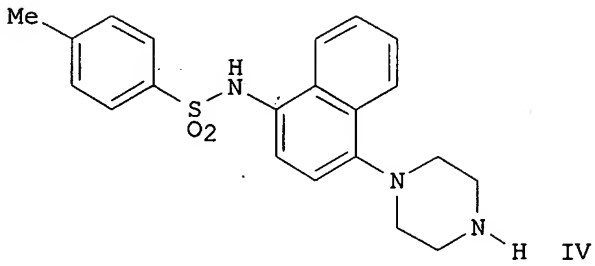


IV

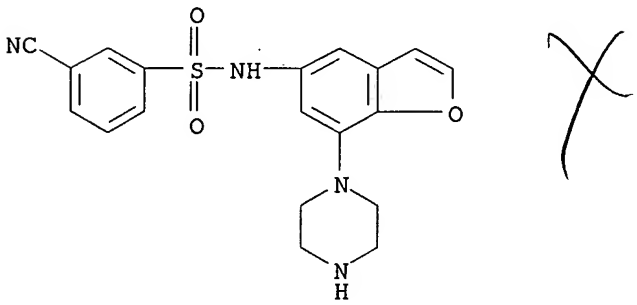
AB The title compds. [I; ring B = II or III (wherein D = 5-membered

heterocyclyl of heteroaryl; with the proviso that when D contains O, D is heteroaryl); W = N, CH (not more than three groups W are N in both rings A and B together); P = NR2SO2R1, SO2NR1R2; P and R3 are bound to the same ring and are disposed in meta- or para-positions relative to each other; R1 = alkyl, alkoxyalkyl, aryl, etc.; R2 = H, alkyl, alkoxy, etc.; or R1 and R2 are linked to form (CH2)4O; one of R3 = (un)substituted piperazino, diazepino, 4-piperidinyl, etc.; X, Y = H, halo, alkyl, etc.], potentially useful for the prophylaxis and treatment of medical conditions relating to obesity, type II diabetes and/or disorders of the central nervous system, were prepd. E.g., a multi-step synthesis of IV.HCl, starting from 1-chloro-4-nitronaphthalene and tert-Bu 1-piperazinecarboxylate, was given. The compds. I have a selective affinity to 5-HT6 receptors with Ki values between 0.5 nM and 5 .mu.M.

IT **478616-98-7P 478616-99-8P 478617-00-4P**
478617-01-5P 478617-02-6P 478617-03-7P
478617-04-8P 478617-05-9P 478617-06-0P
478617-07-1P 478617-08-2P 478617-09-3P
478617-10-6P 478617-11-7P 478617-12-8P
478617-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes)

RN 478616-98-7 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 478616-99-8 CAPLUS

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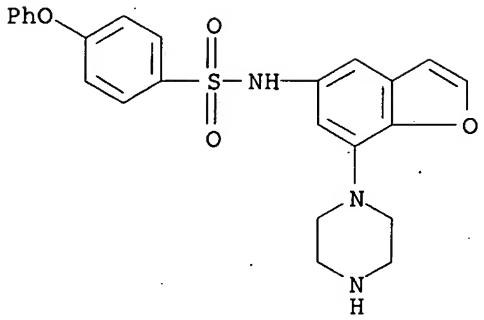
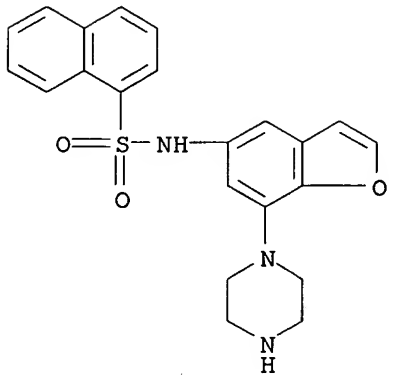


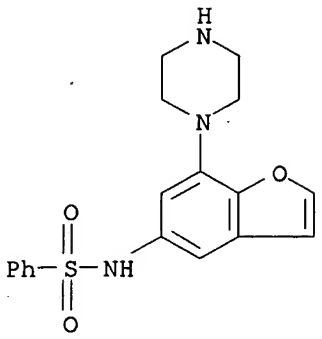
● HCl

RN 478617-00-4 CAPLUS
CN 1-Naphthalenesulfonamide, N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



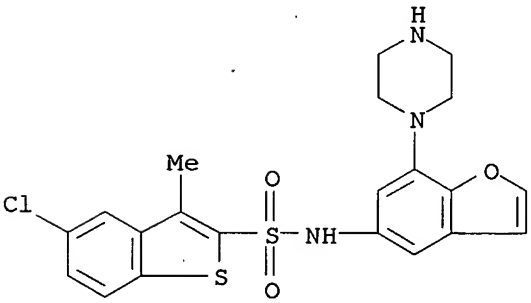
● HCl

RN 478617-01-5 CAPLUS
CN Benzenesulfonamide, N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



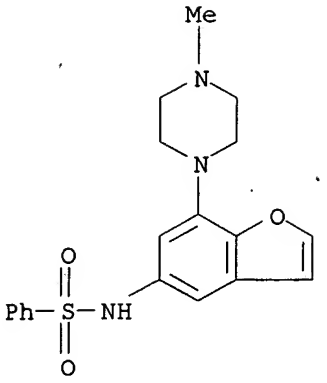
● HCl

RN 478617-02-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



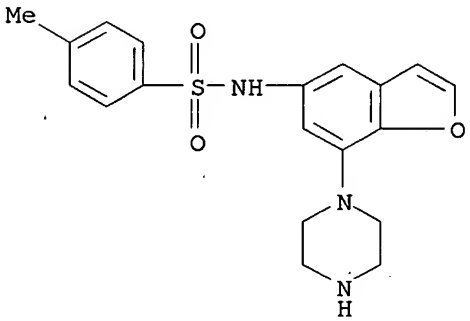
● HCl

RN 478617-03-7 CAPLUS
CN Benzenesulfonamide, N-[7-(4-methyl-1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 478617-04-8 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 478617-05-9 CAPLUS
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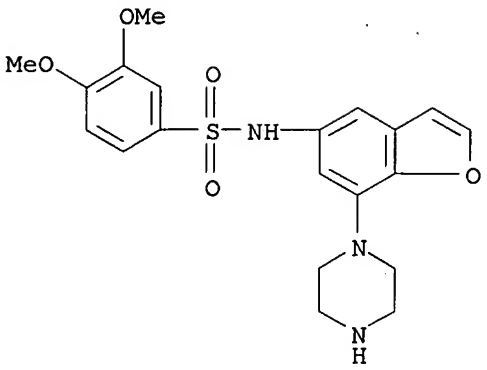
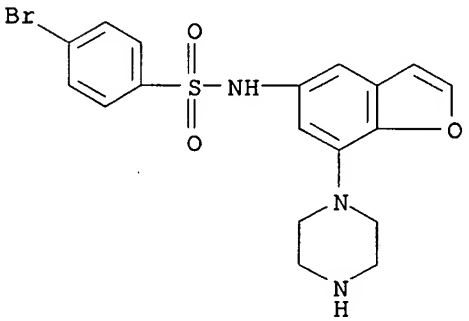


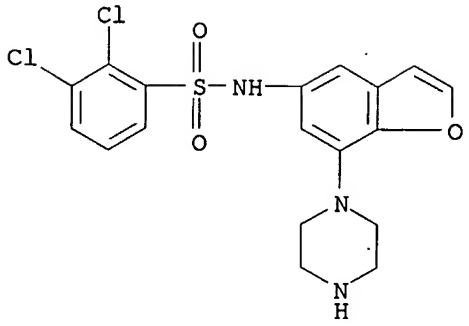
● HCl

RN 478617-06-0 CAPLUS
CN Benzenesulfonamide, 4-bromo-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



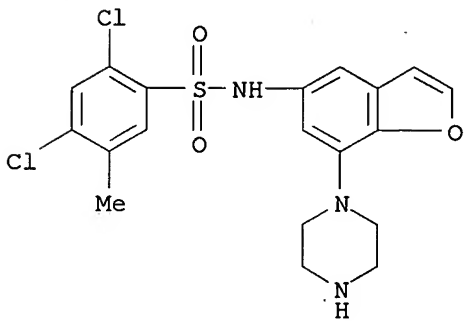
● HCl

RN 478617-07-1 CAPLUS
CN Benzenesulfonamide, 2,3-dichloro-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



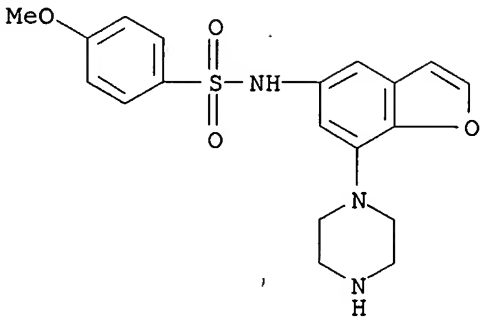
● HCl

RN 478617-08-2 CAPLUS
CN Benzenesulfonamide, 2,4-dichloro-5-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



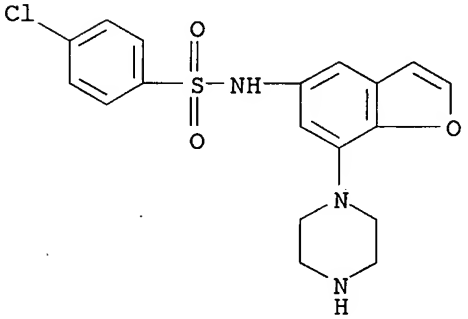
● HCl

RN 478617-09-3 CAPLUS
CN Benzenesulfonamide, 4-methoxy-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



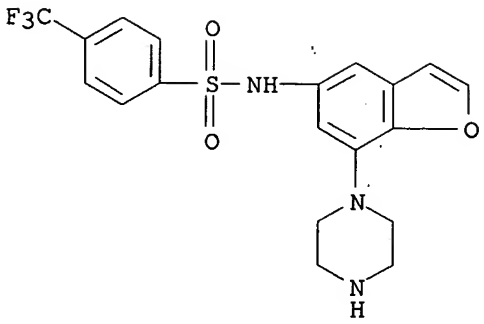
● HCl

RN 478617-10-6 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



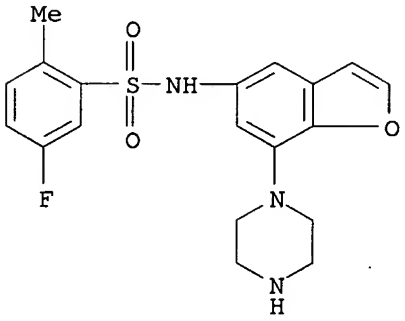
● HCl

RN 478617-11-7 CAPLUS
CN Benzenesulfonamide, N-[7-(1-piperazinyl)-5-benzofuranyl]-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



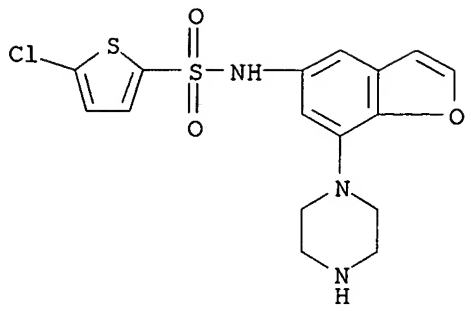
● HCl

RN 478617-12-8 CAPLUS
CN Benzenesulfonamide, 5-fluoro-2-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



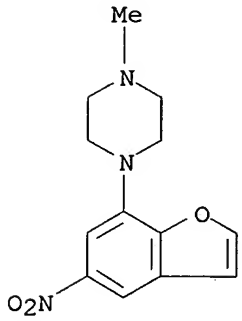
● HCl

RN 478617-13-9 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[7-(1-piperazinyl)-5-benzofuranyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **478617-59-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes)
RN 478617-59-3 CAPLUS
CN Piperazine, 1-methyl-4-(5-nitro-7-benzofuranyl)- (9CI) (CA INDEX NAME)

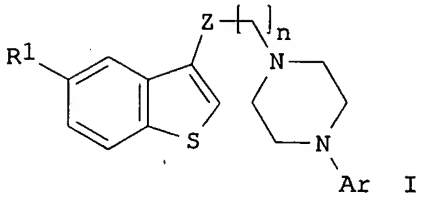


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:428898 CAPLUS
DN 137:6200
TI Preparation of benzothiophenes as serotonin reuptake inhibitors
IN Del Castillo Nieto, Juan Carlos; Lasheras Aldaz, Berta Esperanza; Monge Vega, Antonio; Mourelle Mancini, Marisabel; Del Rio Zambrana, Joaquin
PA Vita-Invest, S.A., Spain
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002044170	A2	20020606	WO 2001-IB2211	20011119
	WO 2002044170	A3	20020906		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	ES 2188344	A1	20030616	ES 2000-2914	20001129
	AU 2002015157	A5	20020611	AU 2002-15157	20011119
	EE 200300245	A	20030815	EE 2003-245	20011119
	EP 1337528	A2	20030827	EP 2001-983737	20011119
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003002332	A	20030522	NO 2003-2332	20030522
PRAI	ES 2000-2914	A	20001129		
	WO 2001-IB2211	W	20011119		

OS CASREACT 137:6200; MARPAT 137:6200
GI



I

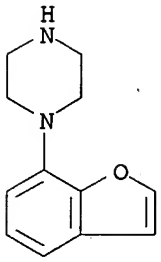
AB The title compds. [I; n = 1-3; Z = CO, CHOH; R1 = H, alkyl, halo, etc.; R2 = H, alkyl, Ph; R3, R4 = H, alkyl, Ph; or R3 together with R4 form a morpholine, thiomorpholine or piperazine; Ar = (un)substituted bicyclic system formed by a benzocondensed heterocyclic ring] and their salts which behave as serotonin reuptake inhibitors and show high affinity towards the 5-HT1A receptor, and therefore useful for the treatment of neurol. disorders, were prepd. Thus, reacting 5-nitrobenzo[b]thiophene with 2-chloropropionyl chloride in the presence of AlCl3 in CHCl3 (30%).

followed by reaction of the resulting (5-nitrobenzo[b]thiophen-3-yl)-3-chloropropan-1-one with 1-(2,3-dihydro-benzo[1,4]dioxin-5-yl)piperazine afforded I [R1 = NO2; Z = CO; n = 2; Ar = 2,3-dihydro-benzo[1,4]dioxin-5-yl] which showed Ki of 15.9 nM in the serotonin transporter assay and Ki of 3.2 nM against 5-HT1A binding.

IT **98224-26-1**, 1-(7-Benzofuranyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzothiophenes as serotonin reuptake inhibitors)

RN 98224-26-1 CAPLUS

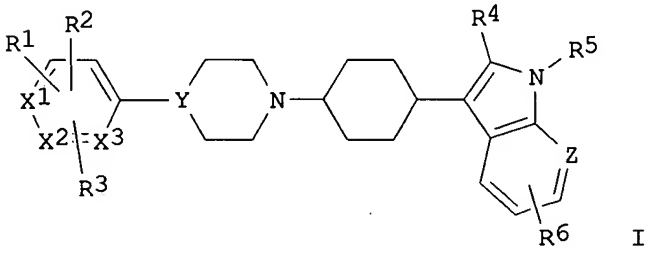
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



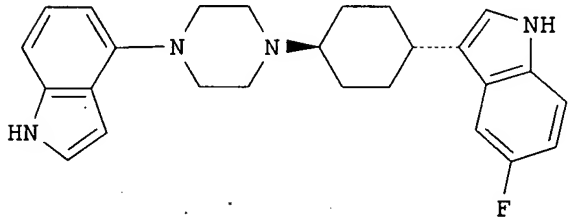
L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:809092 CAPLUS
DN 135:344505
TI Preparation of arylpiperazinyl-cyclohexyl indole derivatives for the treatment of depression
IN Mewshaw, Richard E.; Zhou, Ping; Zhou, Dahui; Meagher, Kristin L.; Asselin, Magda; Evrard, Deborah A.; Gilbert, Adam M.
PA American Home Products Corp, USA
SO U.S., 62 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6313126	B1	20011106	US 1999-476254	19991230
US 2002045628	A1	20020418	US 2001-969910	20011003
US 6465482	B2	20021015		
PRAI US 1999-155199P	P	19990107		
US 1999-476254	A3	19991230		

OS MARPAT 135:344505
GI



I

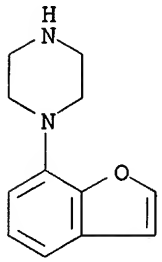


II

AB Arylpiperazinyl-cyclohexyl indole derivs. of formula I [R1-R3 = H, halo, CF3, alkyl, alkoxy, MeSO2, or together can form a 5-7 membered carbocyclic or heterocyclic ring; R4 = H, halo, alkyl; R5 = H, alkyl, alkylaryl, aryl; R6 = H, halo, CF3, CN, carbamido, alkoxy; X1-X3, Y, Z = C, N] are prepd. which are useful for the treatment of serotonin-affected neurol. disorders such as depression and anxiety. Thus, II was prepd. from 4-(5-fluoro-1H-indol-3-yl)cyclohexanone and 1-(indol-4-yl)piperazine, and was shown to be active towards 5-HT1A receptors with Ki = 4.62 nM.

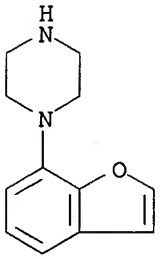
IT **98224-26-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylpiperazinyl-cyclohexyl indole derivs. for treatment of depression)

RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:629000 CAPLUS
DN 135:357896
TI New 1-aryl-4-(biarylmethylene)piperazines as potential atypical antipsychotics sharing dopamine D2-receptor and serotonin 5-HT1A-receptor affinities
AU Feenstra, R. W.; de Moes, J.; Hofma, J. J.; Kling, H.; Kuipers, W.; Long, S. K.; Tulp, M. T. M.; van der Heyden, J. A. M.; Kruse, C. G.
CS Research Laboratories, Solvay Pharmaceuticals, Weesp, 1380 DA, Neth.
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2345-2349
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB 1-Aryl-4-(biarylmethylene)piperazines were prepd. and their affinity for D2 and 5-HT1A receptors was detd.. A selection of these compds. was evaluated in vivo, resulting in the identification of a drug candidate which is being clin. evaluated as a potential atypical antipsychotic with reduced extrapyrimidal side effects.
IT **98224-26-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-aryl-4-(biarylmethylene)piperazines as potential atypical antipsychotics sharing dopamine D2-receptor and serotonin 5-HT1A-receptor affinities)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:101130 CAPLUS
DN 134:163062
TI Preparation of 1-(4-trifluoromethylbenzofur-7-yl)piperazines useful as serotonin agonists
IN Briner, Karin; Burkholder, Timothy Paul; Heiman, Mark Louis; Nelson, David Lloyd Garver
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English

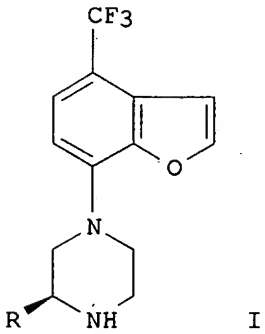
Apps but diff Case

=6,638,936

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001009123	A1	20010208	WO 2000-US19545	20000721
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1204658	A1	20020515	EP 2000-948746	20000721
EP 1204658	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506370	T2	20030218	JP 2001-514326	20000721
AT 239722	E	20030515	AT 2000-948746	20000721
PRAI US 1999-146287P	P	19990729		
US 1999-172169P	P	19991217		
WO 2000-US19545	W	20000721		

OS MARPAT 134:163062
GI



I

AB The present invention provides serotonergic benzofurans of formula I (R = Me, Et) or pharmaceutically acceptable acid addn. salts thereof and pharmaceutical formulations contg. them. The compds. selectively increase

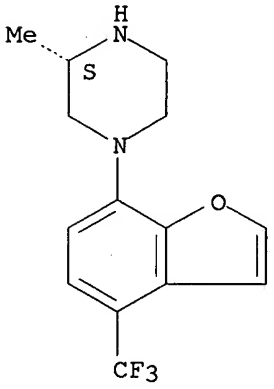
the activation of the 5-HT2c receptor in mammals and are useful for treatment of obesity. Although the methods of prepn. of I are not claimed, example prepns. are given.

IT **325145-37-7P**, 1-(4-Trifluoromethylbenzofur-7-yl)-3(S)-methylpiperazine **325145-39-9P**, 1-(4-Trifluoromethylbenzofur-7-yl)-3(S)-ethylpiperazine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of 1-(4-trifluoromethylbenzofur-7-yl)piperazines useful as serotonin agonists)

RN 325145-37-7 CAPLUS

CN Piperazine, 3-methyl-1-[4-(trifluoromethyl)-7-benzofuranyl]-, (3S)- (9CI) (CA INDEX NAME)

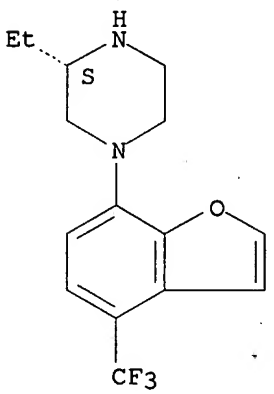
Absolute stereochemistry.



RN 325145-39-9 CAPLUS

CN Piperazine, 3-ethyl-1-[4-(trifluoromethyl)-7-benzofuranyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **325145-38-8P**, 1-(4-Trifluoromethylbenzofur-7-yl)-3(S)-methylpiperazine monofumarate **325145-40-2P**, 1-(4-Trifluoromethylbenzofur-7-yl)-3(S)-ethylpiperazine monofumarate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

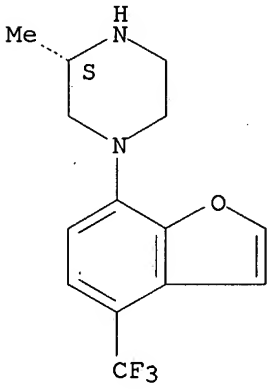
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(4-trifluoromethylbenzofur-7-yl)piperazines useful as serotonin agonists)

RN 325145-38-8 CAPLUS
CN Piperazine, 3-methyl-1-[4-(trifluoromethyl)-7-benzofuranyl]-, (3S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 325145-37-7
CMF C14 H15 F3 N2 O

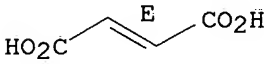
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

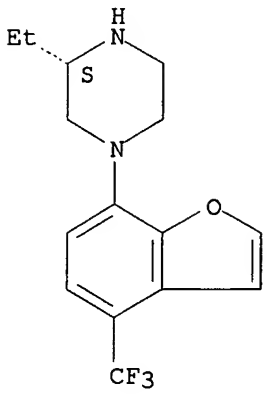


RN 325145-40-2 CAPLUS
CN Piperazine, 3-ethyl-1-[4-(trifluoromethyl)-7-benzofuranyl]-, (3S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 325145-39-9
CMF C15 H17 F3 N2 O

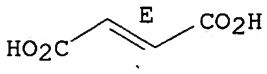
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

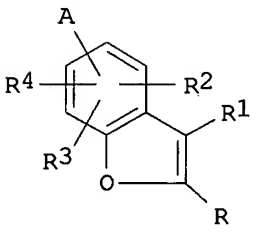
L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:101118 CAPLUS
DN 134:163061
TI Preparation of benzofurylpiperazines and benzofurylhomopiperazines useful as serotonin agonists
IN Briner, Karin; Burkhart, Joseph Paul; Burkholder, Timothy Paul; Cunningham, Brian Eugene; Fisher, Matthew Joseph; Gritton, William Harlan; Miller, Shawn Christopher; Mullaney, Jeffrey Thomas; Reinhard, Matthew Robert; Thompson, Dennis Charles; Winneroski, Leonard Larry Jr.; Xu, Yanping
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English

Apps pct

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001009111	A1	20010208	WO 2000-US19543	20000721
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012752	A	20020402	BR 2000-12752	20000721
EP 1204654	A1	20020515	EP 2000-948745	20000721
EP 1204654	B1	20030723		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506365	T2	20030218	JP 2001-514314	20000721
AT 245636	E	20030815	AT 2000-948745	20000721
PRAI US 1999-146270P	P	19990729		
WO 2000-US19543	W	20000721		

OS MARPAT 134:163061
GI



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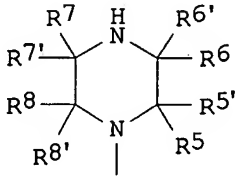


II

AB The present invention provides serotonergic benzofurans of formula I or pharmaceutically acceptable acid addn. salts thereof (e.g. 1-(4,5-difluorobenzofur-7-yl)piperazine fumarate) and pharmaceutical formulations contg. them. The compds. are claimed to selectively increase

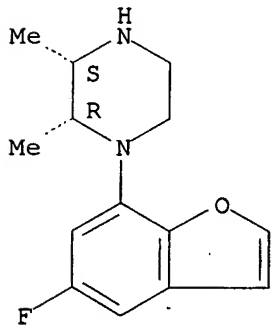
the activation of the 5-HT2c receptor in mammals and to be useful for treatment of obesity and depression. In I, A = homopiperazine or a piperazine of formula II. R = H, halo, trifluoromethyl or C1-C6 alkyl. R1 = H, halo, trifluoromethyl, Ph, or C1-C6 alkyl. R2, R3, and R4 are independently H, halo, dihalomethyl, trifluoromethyl, 1,1-difluoroethyl, cyano, C1-C4 alkoxy, C1-C4 alkoxycarbonyl, C1-C6 alkyl, -C(O)NHR9, or C1-C6 alkyl substituted with a substituent selected from halo, C1-C4 alkoxy and hydroxy. R5, R6, R7, and R8 are independently H, C1-C6 alkyl, Ph, benzyl, hydroxymethyl, halomethyl, dihalomethyl, trihalomethyl, or benzyloxymethyl. R5' = H or Me, provided that R5' may be Me only when R5 is other than H; or R5 and R5', together with the C atom to which they are attached, form a cyclopropyl moiety. R6' = H or Me, provided that R6' may be Me only when R6 is other than H; or R6 and R6', together with the C atom to which they are attached, form a cyclopropyl moiety. R7' = H or Me, provided that R7' may be Me only when R7 is other than H; or R7 and R7', together with the C atom to which they are attached, form a cyclopropyl moiety. R8' = H or Me, provided that R8' may be Me only when R8 is other than H; or R8 and R8', together with the C atom to which they are attached, form a cyclopropyl moiety. R9 = C1-C8 alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of Ph and pyridyl. The above is subject to the following provisos: (a) when R2, R3, and R4 are all selected from the group consisting of H, trifluoromethyl, cyano, C1-C4 alkoxy, or C1-C4 alkyl, neither R6 nor R7 may be selected from the group consisting of H and C1-C6 alkyl unless: (1) R is halo; (2) R1 is halo or Ph (3) R6' or R7' is Me; or (4) R5 or R8 are other than H; (b) when R, R1, and two of R2, R3, and R4 are H and one of R2, R3, or R4 is selected from fluoro, chloro, bromo, Me, or methoxy, at least one of R5, R6, R7, or R8 must be other than H; (c) when R1 is bromo or R is Me, at least one of R2, R3, and R4 must be other than H; and (d) no more than two of R5, R6, R7, and R8 may be other than H. Although the methods of prepn. of I are not claimed, >100 example prepns. are given.

IT **324750-12-1P**, cis-1-(5-Fluorobenzofur-7-yl)-2,3-dimethylpiperazine
324750-47-2P, 1-(5-Fluorobenzofur-7-yl)-2,2-dimethyl-5,6-dioxopiperazine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzofurylpiperazines and benzofurylhomopiperazines useful as serotonin agonists)

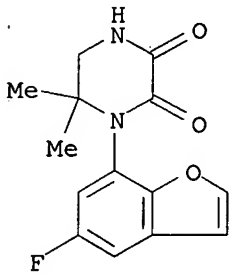
RN 324750-12-1 CAPLUS

CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,3-dimethyl-, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 324750-47-2 CAPLUS
CN 2,3-Piperazinedione, 1-(5-fluoro-7-benzofuranyl)-6,6-dimethyl- (9CI) (CA INDEX NAME)



IT **324748-67-6P**, 1-(4,5-Difluorobenzofur-7-yl)piperazine monofumarate **324748-68-7P**, 1-(4,6-Difluorobenzofur-7-yl)piperazine hydrochloride **324748-72-3P**, 1-(4-Chloro-5-fluorobenzofur-7-yl)piperazine hydrochloride **324748-73-4P**, 1-(5-Fluorobenzofur-4-yl)piperazine hydrochloride **324748-74-5P**, 1-(5-Fluorobenzofur-6-yl)piperazine hydrochloride **324748-75-6P**, 1-(5-Fluorobenzofur-7-yl)-2,6-dimethylpiperazine hydrochloride **324748-80-3P**, 1-(3-Ethylbenzofur-7-yl)piperazine oxalate **324748-83-6P**, 1-(4,6-Dimethyl-5-chlorobenzofur-7-yl)piperazine oxalate **324748-85-8P**, 1-(4-Methyl-5-fluorobenzofur-7-yl)piperazine oxalate **324748-88-1P**, 1-(3-Ethyl-4,6-dimethyl-5-chlorobenzofur-7-yl)piperazine oxalate **324748-90-5P**, 1-(3-Isopropyl-5-fluorobenzofur-7-yl)piperazine oxalate **324748-92-7P**, 1-(3-Pentyl-5-fluorobenzofur-7-yl)piperazine oxalate **324748-94-9P**, 1-(5,6-Difluorobenzofur-7-yl)piperazine oxalate **324748-96-1P**, 1-(5-Methoxycarbonylbenzofur-7-yl)piperazine hydrochloride **324748-98-3P**, 1-(4,6-Dichlorobenzofur-7-yl)piperazine oxalate **324749-00-0P**, 1-[5-(Methoxymethyl)benzofur-7-yl]piperazine oxalate **324749-02-2P**, 1-(4,5,6-Trifluorobenzofur-7-yl)piperazine oxalate **324749-04-4P**, 1-(3-Methyl-4,5,6-trifluorobenzofur-7-yl)piperazine oxalate **324749-09-9P**, 1-(5-Fluoro-6-methylbenzofur-7-yl)piperazine oxalate **324749-11-3P**, 1-(4-Chloro-5-methoxycarbonylbenzofur-7-yl)piperazine oxalate **324749-13-5P**, 1-(4-Fluoro-5-chlorobenzofur-7-yl)-2(S)-methyl-5(S)-methylpiperazine monofumarate **324749-15-7P**, 1-(4-Chloro-5-fluorobenzofur-7-yl)-2(S)-methyl-5(S)-methylpiperazine monofumarate **324749-17-9P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-methyl-5(S)-methylpiperazine monofumarate **324749-18-0P**, 1-(5-Fluorobenzofur-7-yl)-3(S)-methylpiperazine monohydrochloride **324749-19-1P**, 1-(7-Fluorobenzofur-5-yl)-3(S)-methylpiperazine hydrochloride **324749-21-5P**, 1-(5-Fluorobenzofur-7-yl)-3(S)-benzyloxymethylpiperazine fumarate **324749-23-7P**, 1-(4,5-Difluorobenzofur-7-yl)-3(S)-methylpiperazine monofumarate **324749-25-9P**, 1-(5-Fluorobenzofur-7-yl)-3(S)-isopropylpiperazine fumarate **324749-27-1P**, 1-(5-Fluorobenzofur-7-yl)-3(S)-propylpiperazine monofumarate **324749-31-7P**, 1-(5-Fluorobenzofur-7-yl)-3(S)-butylpiperazine fumarate **324749-34-0P**, 1-(5-Chlorobenzofur-7-yl)-3(S)-methylpiperazine fumarate **324749-37-3P**, 1-(5-Fluorobenzofur-7-yl)-3(S)-benzylpiperazine fumarate **324749-40-8P**, 1-(5,6-Difluorobenzofur-7-yl)-3(S)-methylpiperazine oxalate **324749-43-1P**,

1-(4,5,6-Trifluorobenzofur-7-yl)-3(S)-methylpiperazine oxalate **324749-46-4P**, 1-(3-Methyl-4,5,6-trifluorobenzofur-7-yl)-3(S)-methylpiperazine oxalate **324749-47-5P**, 1-(4-Chloro-5-fluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324749-48-6P**, 1-(4-Methyl-5-fluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324749-49-7P**, 1-(4-Chloro-5-fluorobenzofur-7-yl)-3-ethylidenepiperazine hydrochloride **324749-51-1P**, 1-(4-Trifluoromethylbenzofur-7-yl)-3-ethylidenepiperazine hydrochloride **324749-52-2P**, 1-(5-Fluorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324749-53-3P**, 1-(7-Fluorobenzofur-5-yl)-3(R)-methylpiperazine hydrochloride **324749-54-4P**, 1-(5-Fluorobenzofur-4-yl)-3(R)-methylpiperazine hydrochloride **324749-55-5P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-methylpiperazine monohydrochloride **324749-57-7P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-methylpiperazine monofumarate **324749-58-8P**, 1-(5-Chlorobenzofur-7-yl)-2(S)-methylpiperazine hydrochloride **324749-60-2P**, 1-(5-Chlorobenzofur-7-yl)-2(S)-methylpiperazine monofumarate **324749-62-4P**, 1-(7-Fluorobenzofur-5-yl)-2(S)-methylpiperazine fumarate **324749-66-8P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-(benzyloxymethyl)piperazine fumarate **324749-71-5P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-propylpiperazine hydrochloride **324749-76-0P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-benzylpiperazine fumarate **324749-79-3P**, 1-(4,5-Difluorobenzofur-7-yl)-2(S)-methylpiperazine monofumarate **324749-82-8P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-(sec-butyl)piperazine fumarate **324749-87-3P**, 1-(5-Fluorobenzofur-7-yl)-2(S)-isopropylpiperazine fumarate **324749-92-0P**, 1-(5-Trifluoromethylbenzofur-7-yl)-2(S)-methylpiperazine fumarate **324749-95-3P**, 1-(4-Trifluoromethylbenzofur-7-yl)-2(S)-methylpiperazine fumarate **324749-98-6P**, 1-(7-Fluorobenzofur-5-yl)-2(R)-methylpiperazine fumarate **324750-00-7P**, 1-(5-Trifluoromethylbenzofur-7-yl)-2(R)-methylpiperazine fumarate **324750-03-0P**, 1-(5-Fluorobenzofur-7-yl)-2-ethylidenepiperazine fumarate **324750-06-3P**, trans-1-(4,6-Difluorobenzofur-7-yl)-2,5-dimethylpiperazine hydrochloride **324750-10-9P**, cis-1-(5-Fluorobenzofur-7-yl)-2,3-dimethylpiperazine hydrochloride **324750-14-3P**, 1-(6-Fluorobenzofur-7-yl)piperazine hydrochloride **324750-16-5P**, 1-(5-Fluoro-6-chlorobenzofur-7-yl)piperazine hydrochloride **324750-18-7P**, 1-[5-(Hydroxymethyl)benzofur-7-yl]piperazine hydrochloride **324750-20-1P**, 1-(4,6-Difluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-21-2P**, 1-(4,5,6-Trifluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-22-3P**, 1-(6-Fluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-23-4P**, 1-(5-Fluoro-6-chlorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-24-5P**, 1-(5-Fluorobenzofur-4-yl)-3(S)-methylpiperazine hydrochloride **324750-25-6P**, 1-(4,6-Difluorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324750-26-7P**, 1-(6-Fluorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324750-27-8P**, 1-(4,6-Dichlorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324750-28-9P**, 1-(5-Fluoro-6-chlorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324750-29-0P**, N-Butyl 7-(piperazin-1-yl)benzofuran-5-carboxamide dihydrochloride **324750-31-4P**, N-[2-(Pyridin-3-yl)ethyl] 7-(piperazin-1-yl)benzofuran-5-carboxamide dihydrochloride **324750-32-5P**, N-[2-(Pyridin-2-yl)ethyl] 7-(piperazin-1-yl)benzofuran-5-carboxamide dihydrochloride **324750-34-7P**, 1-(4-Chloro-5-fluorobenzofur-7-yl)-

2(R)-methylpiperazine monofumarate **324750-36-9P**, 1-(5-Fluorobenzofur-7-yl)-2(R)-methyl-5(S)-methylpiperazine monofumarate **324750-38-1P**, 1-(4-Chloro-5-fluorobenzofur-7-yl)-2(R)-methyl-5(S)-methylpiperazine fumarate **324750-40-5P**, 1-(4-Methyl-5-fluorobenzofur-7-yl)-2(R)-methyl-5(S)-methylpiperazine monofumarate **324750-42-7P**, 1-(5-Fluorobenzofur-7-yl)-2(R)-methyl-5(R)-methylpiperazine fumarate **324750-45-0P**, 1-(5-Fluorobenzofur-7-yl)-2,2-dimethylpiperazine fumarate **324750-50-7P**, 1-(3,4-Dimethyl-5-fluorobenzofur-7-yl)piperazine oxalate **324750-51-8P**, 1-(5-Cyano-6-fluorobenzofur-7-yl)piperazine hydrochloride **324750-53-0P**, cis-1-(5-Fluorobenzofur-6-yl)-2,5-dimethylpiperazine hydrochloride **324750-54-1P**, trans-1-(5-Fluorobenzofur-6-yl)-2,5-dimethylpiperazine hydrochloride **324750-56-3P**, 1-(4-Fluorobenzofur-7-yl)-3(R)-methylpiperazine monooxalate **324750-58-5P**, 1-(4-Fluorobenzofur-7-yl)-3(S)-methylpiperazine monooxalate **324750-59-6P**, 1-(5,6-Difluorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324750-60-9P**, 1-(5,6-Difluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-61-0P**, 1-(5-Methyl-6-fluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-62-1P**, 1-(3-Methyl-6-fluorobenzofur-7-yl)-3(R)-methylpiperazine hydrochloride **324750-64-3P**, 1-(3-Methyl-6-fluorobenzofur-7-yl)-3(S)-methylpiperazine hydrochloride **324750-65-4P**, 1-(6-Fluorobenzofur-7-yl)-2(S)-methylpiperazine hydrochloride **324750-66-5P**, 1-(4,5,6-Trifluorobenzofur-7-yl)-2(S)-methylpiperazine hydrochloride

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzofurylpiperazines and benzofurylhomopiperazines useful as serotonin agonists)

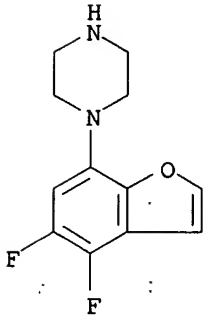
RN 324748-67-6 CAPLUS

CN Piperazine, 1-(4,5-difluoro-7-benzofuranyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324748-66-5

CMF C12 H12 F2 N2 O

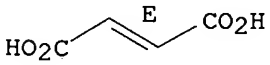


CM 2

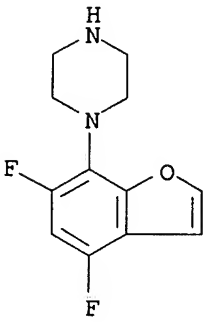
CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

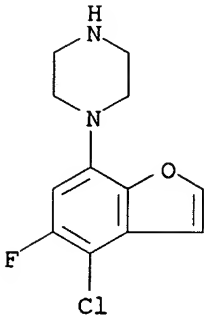


RN 324748-68-7 CAPLUS
CN Piperazine, 1-(4,6-difluoro-7-benzofuranyl)-, hydrochloride (9CI) (CA INDEX NAME)



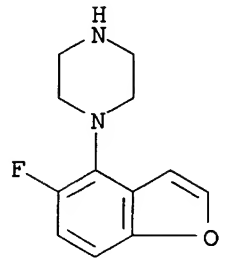
●x HCl

RN 324748-72-3 CAPLUS
CN Piperazine, 1-(4-chloro-5-fluoro-7-benzofuranyl)-, hydrochloride (9CI) (CA INDEX NAME)



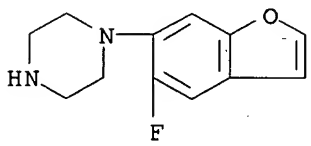
●x HCl

RN 324748-73-4 CAPLUS
CN Piperazine, 1-(5-fluoro-4-benzofuranyl)-, hydrochloride (9CI) (CA INDEX NAME)



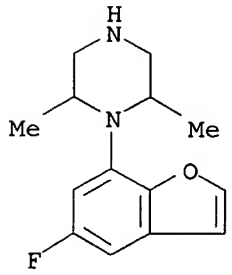
●x HCl

RN 324748-74-5 CAPLUS
CN Piperazine, 1-(5-fluoro-6-benzofuranyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 324748-75-6 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,6-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

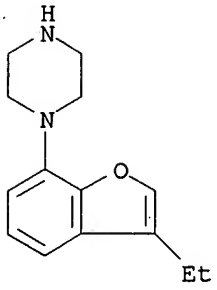


●x HCl

RN 324748-80-3 CAPLUS
CN Piperazine, 1-(3-ethyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

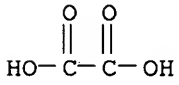
CM 1

CRN 324748-79-0
CMF C14 H18 N2 O



CM 2

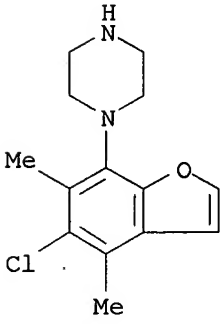
CRN 144-62-7
CMF C2 H2 O4



RN 324748-83-6 CAPLUS
CN Piperazine, 1-(5-chloro-4,6-dimethyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

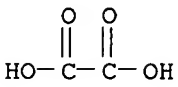
CM 1

CRN 324748-82-5
CMF C14 H17 Cl N2 O



CM 2

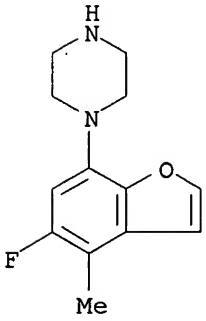
CRN 144-62-7
CMF C2 H2 O4



RN 324748-85-8 CAPLUS
CN Piperazine, 1-(5-fluoro-4-methyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

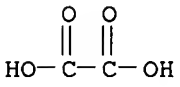
CM 1

CRN 324748-84-7
CMF C13 H15 F N2 O



CM 2

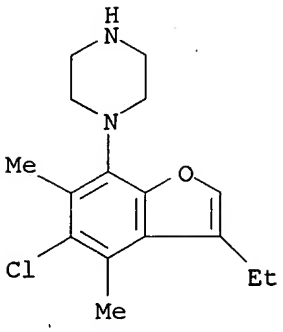
CRN 144-62-7
CMF C2 H2 O4



RN 324748-88-1 CAPLUS
CN Piperazine, 1-(5-chloro-3-ethyl-4,6-dimethyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

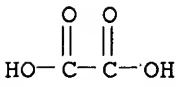
CM 1

CRN 324748-87-0
CMF C16 H21 Cl N2 O



CM 2

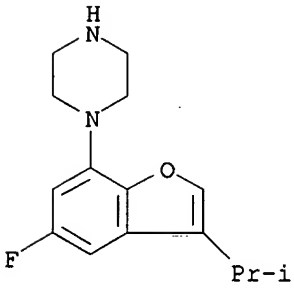
CRN 144-62-7
CMF C2 H2 O4



RN 324748-90-5 CAPLUS
CN Piperazine, 1-[5-fluoro-3-(1-methylethyl)-7-benzofuranyl]-, ethanedioate (9CI) (CA INDEX NAME)

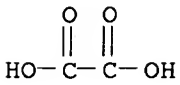
CM 1

CRN 324748-89-2
CMF C15 H19 F N2 O



CM 2

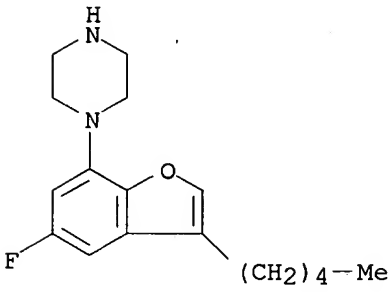
CRN 144-62-7
CMF C2 H2 O4



RN 324748-92-7 CAPLUS
CN Piperazine, 1-(5-fluoro-3-pentyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

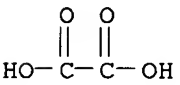
CM 1

CRN 324748-91-6
CMF C17 H23 F N2 O



CM 2

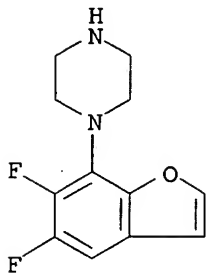
CRN 144-62-7
CMF C2 H2 O4



RN 324748-94-9 CAPLUS
CN Piperazine, 1-(5,6-difluoro-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

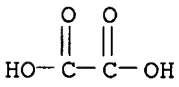
CM 1

CRN 324748-93-8
CMF C12 H12 F2 N2 O

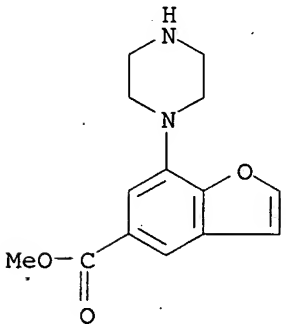


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 324748-96-1 CAPLUS
CN 5-Benzofurancarboxylic acid, 7-(1-piperazinyl)-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

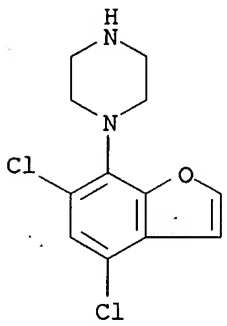


●x HCl

RN 324748-98-3 CAPLUS
CN Piperazine, 1-(4,6-dichloro-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

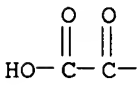
CM 1

CRN 324748-97-2
CMF C12 H12 Cl2 N2 O



CM 2

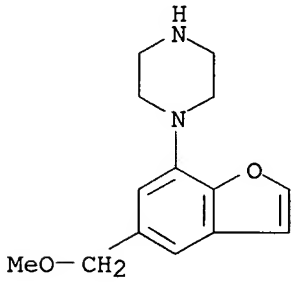
CRN 144-62-7
CMF C2 H2 O4



RN 324749-00-0 CAPLUS
CN Piperazine, 1-[5-(methoxymethyl)-7-benzofuranyl]-, ethanedioate (9CI) (CA INDEX NAME)

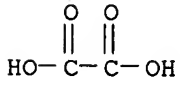
CM 1

CRN 324748-99-4
CMF C14 H18 N2 O2



CM 2

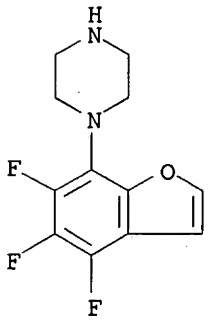
CRN 144-62-7
CMF C2 H2 O4



RN 324749-02-2 CAPLUS
CN Piperazine, 1-(4,5,6-trifluoro-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

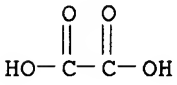
CM 1

CRN 324749-01-1
CMF C12 H11 F3 N2 O



CM 2

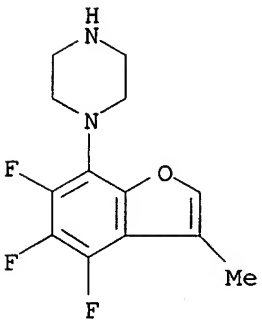
CRN 144-62-7
CMF C2 H2 O4



RN 324749-04-4 CAPLUS
CN Piperazine, 1-(4,5,6-trifluoro-3-methyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

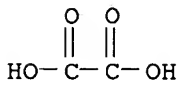
CM 1

CRN 324749-03-3
CMF C13 H13 F3 N2 O



CM 2

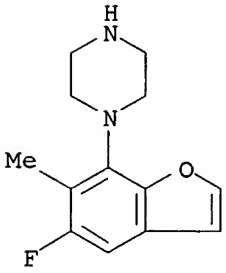
CRN 144-62-7
CMF C2 H2 O4



RN 324749-09-9 CAPLUS
CN Piperazine, 1-(5-fluoro-6-methyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

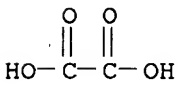
CM 1

CRN 324749-08-8
CMF C13 H15 F N2 O



CM 2

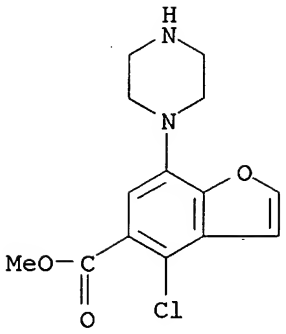
CRN 144-62-7
CMF C2 H2 O4



RN 324749-11-3 CAPLUS
CN 5-Benzofurancarboxylic acid, 4-chloro-7-(1-piperazinyl)-, methyl ester, ethanedioate (9CI) (CA INDEX NAME)

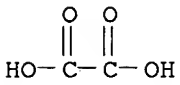
CM 1

CRN 324749-10-2
CMF C14 H15 Cl N2 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4

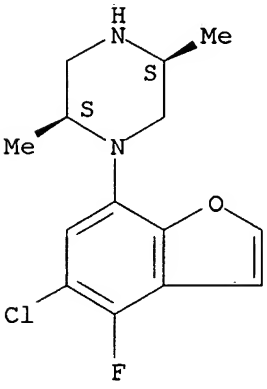


RN 324749-13-5 CAPLUS
CN Piperazine, 1-(5-chloro-4-fluoro-7-benzofuranyl)-2,5-dimethyl-, (2S,5S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-12-4
CMF C14 H16 Cl F N2 O

Absolute stereochemistry.

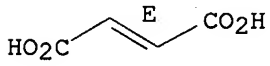


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

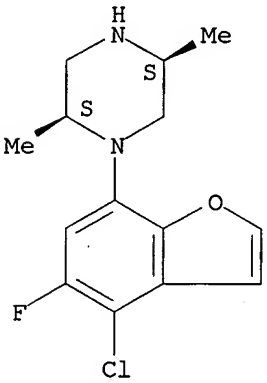


RN 324749-15-7 CAPLUS
CN Piperazine, 1-(4-chloro-5-fluoro-7-benzofuranyl)-2,5-dimethyl-, (2S,5S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-14-6
CMF C14 H16 Cl F N2 O

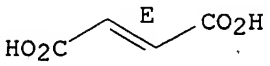
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

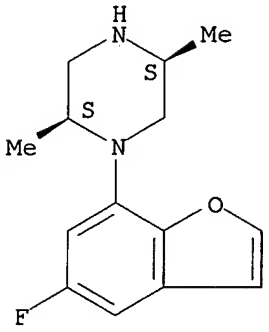


RN 324749-17-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,5-dimethyl-, (2S,5S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-16-8
CMF C14 H17 F N2 O

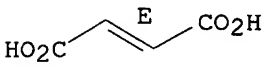
Absolute stereochemistry.



CM 2

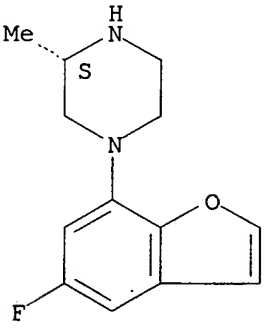
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 324749-18-0 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-methyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

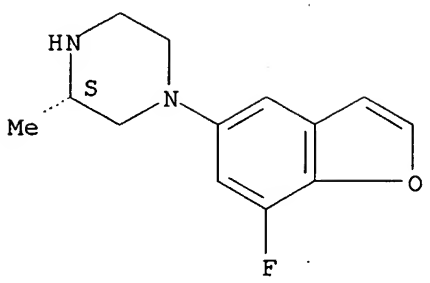
Absolute stereochemistry.



● HCl

RN 324749-19-1 CAPLUS
CN Piperazine, 1-(7-fluoro-5-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



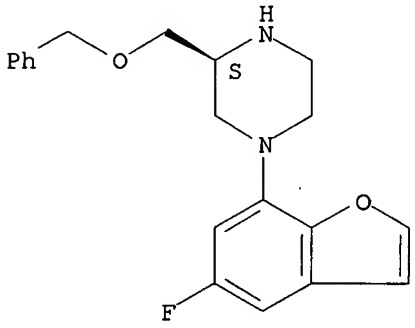
● x HCl

RN 324749-21-5 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-[(phenylmethoxy)methyl]-, (3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-20-4
CMF C20 H21 F N2 O2

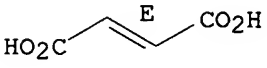
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

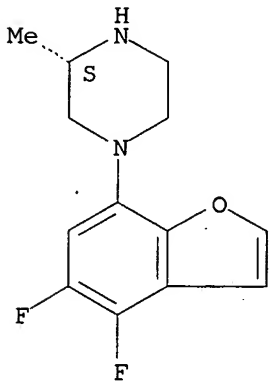


RN 324749-23-7 CAPLUS
CN Piperazine, 1-(4,5-difluoro-7-benzofuranyl)-3-methyl-, (3S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-22-6
CMF C13 H14 F2 N2 O

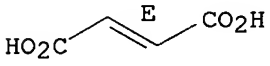
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

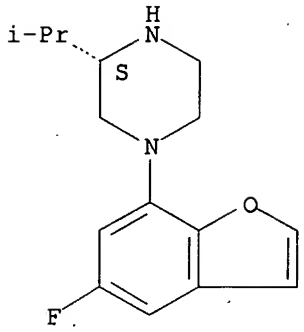


RN 324749-25-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-(1-methylethyl)-, (3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-24-8
CMF C15 H19 F N2 O

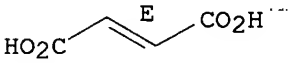
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

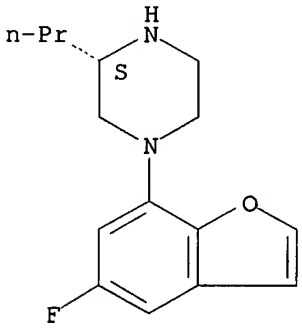


RN 324749-27-1 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-propyl-, (3S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-26-0
CMF C15 H19 F N2 O

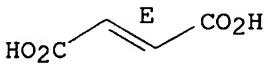
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

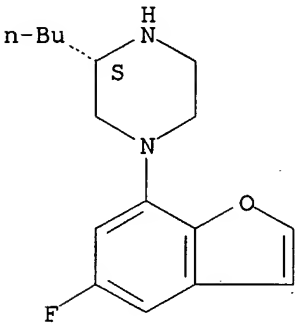


RN 324749-31-7 CAPLUS
CN Piperazine, 3-butyl-1-(5-fluoro-7-benzofuranyl)-, (3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-30-6
CMF C16 H21 F N2 O

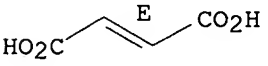
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

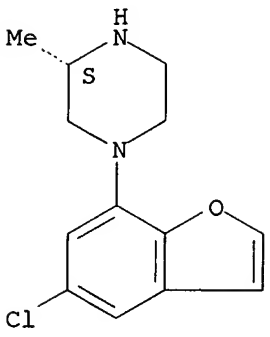


RN 324749-34-0 CAPLUS
CN Piperazine, 1-(5-chloro-7-benzofuranyl)-3-methyl-, (3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-33-9
CMF C13 H15 Cl N2 O

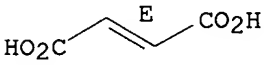
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

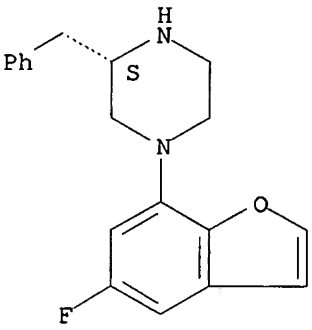


RN 324749-37-3 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-(phenylmethyl)-, (3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-36-2
CMF C19 H19 F N2 O

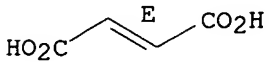
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

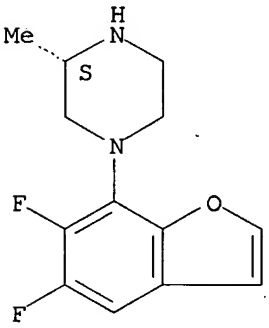


RN 324749-40-8 CAPLUS
CN Piperazine, 1-(5,6-difluoro-7-benzofuranyl)-3-methyl-, (3S)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

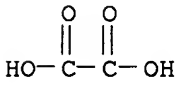
CRN 324749-39-5
CMF C13 H14 F2 N2 O

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

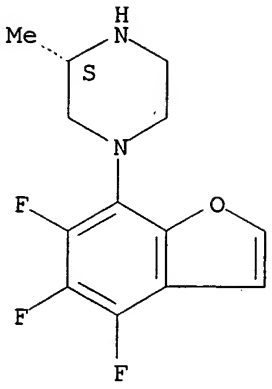


RN 324749-43-1 CAPLUS
CN Piperazine, 3-methyl-1-(4,5,6-trifluoro-7-benzofuranyl)-, (3S)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

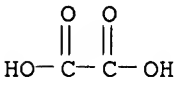
CRN 324749-42-0
CMF C13 H13 F3 N2 O

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

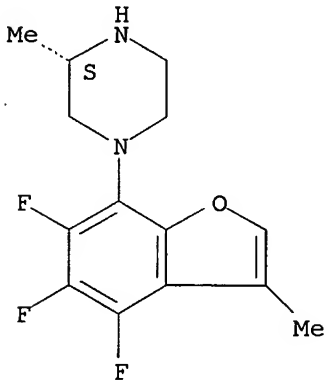


RN 324749-46-4 CAPLUS
CN Piperazine, 3-methyl-1-(4,5,6-trifluoro-3-methyl-7-benzofuranyl)-, (3S)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

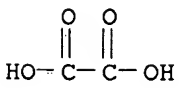
CRN 324749-45-3
CMF C14 H15 F3 N2 O

Absolute stereochemistry.



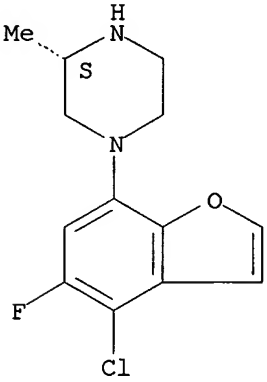
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 324749-47-5 CAPLUS
CN Piperazine, 1-(4-chloro-5-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

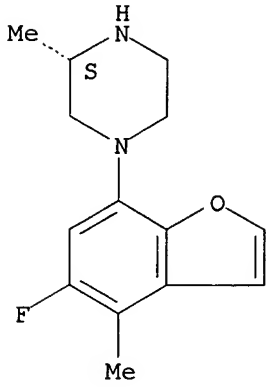
Absolute stereochemistry.



● x HCl

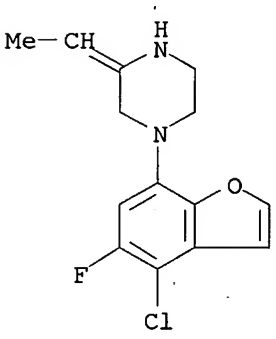
RN 324749-48-6 CAPLUS
CN Piperazine, 1-(5-fluoro-4-methyl-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



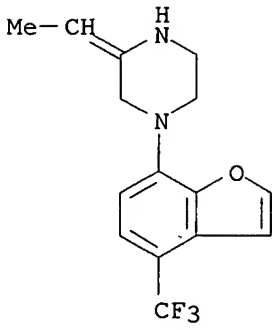
●x HCl

RN 324749-49-7 CAPLUS
CN Piperazine, 1-(4-chloro-5-fluoro-7-benzofuranyl)-3-ethylidene-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

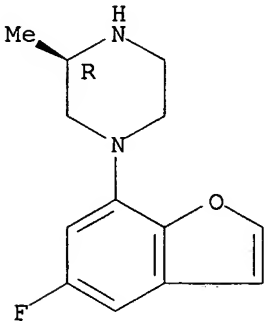
RN 324749-51-1 CAPLUS
CN Piperazine, 3-ethylidene-1-[4-(trifluoromethyl)-7-benzofuranyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 324749-52-2 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)-(9CI) (CA INDEX NAME)

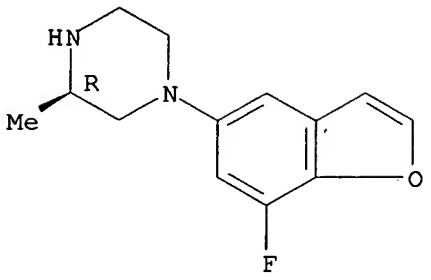
Absolute stereochemistry.



●x HCl

RN 324749-53-3 CAPLUS
CN Piperazine, 1-(7-fluoro-5-benzofuranyl)-3-methyl-, hydrochloride, (3R)-(9CI) (CA INDEX NAME)

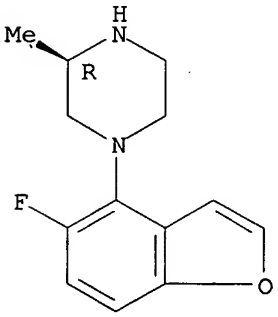
Absolute stereochemistry.



●x HCl

RN 324749-54-4 CAPLUS
CN Piperazine, 1-(5-fluoro-4-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

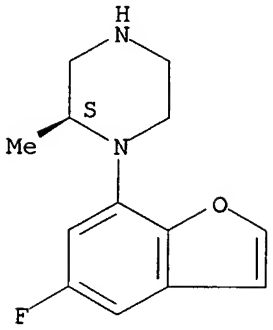
Absolute stereochemistry.



●x HCl

RN 324749-55-5 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-methyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



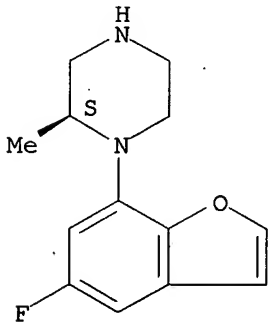
● HCl

RN 324749-57-7 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-56-6
CMF C13 H15 F N2 O

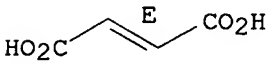
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

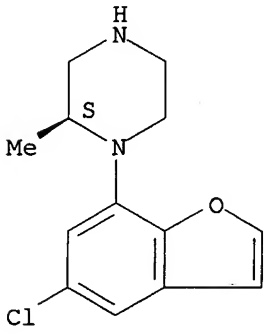
Double bond geometry as shown.



RN 324749-58-8 CAPLUS
CN Piperazine, 1-(5-chloro-7-benzofuranyl)-2-methyl-, hydrochloride, (2S)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



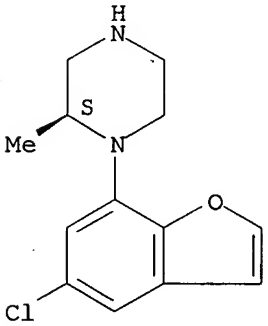
●x HCl

RN 324749-60-2 CAPLUS
CN Piperazine, 1-(5-chloro-7-benzofuranyl)-2-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-59-9
CMF C13 H15 Cl N2 O

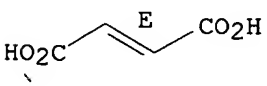
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

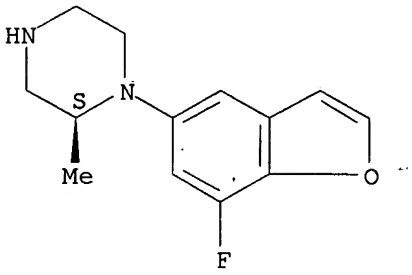


RN 324749-62-4 CAPLUS
CN Piperazine, 1-(7-fluoro-5-benzofuranyl)-2-methyl-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-61-3
CMF C13 H15 F N2 O

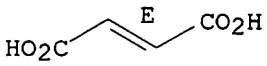
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

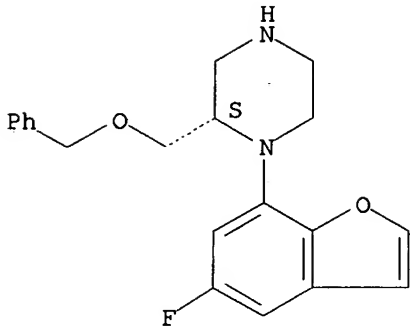


RN 324749-66-8 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-[(phenylmethoxy)methyl]-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-65-7
CMF C20 H21 F N2 O2

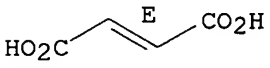
Absolute stereochemistry.



CM 2

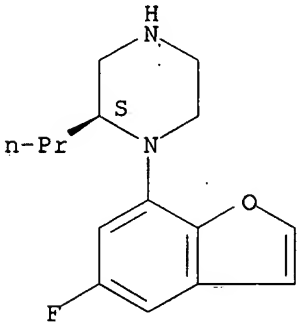
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 324749-71-5 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-propyl-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

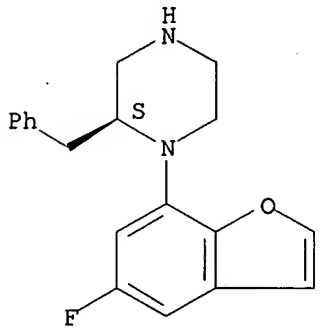
RN 324749-76-0 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-(phenylmethyl)-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-75-9

CMF C19 H19 F N2 O

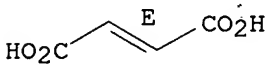
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

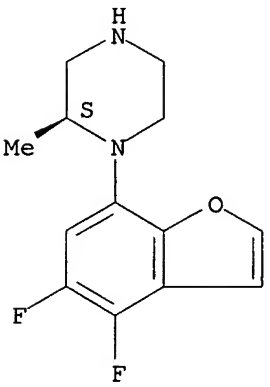


RN 324749-79-3 CAPLUS
CN Piperazine, 1-(4,5-difluoro-7-benzofuranyl)-2-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324749-78-2
CMF C13 H14 F2 N2 O

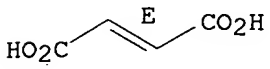
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

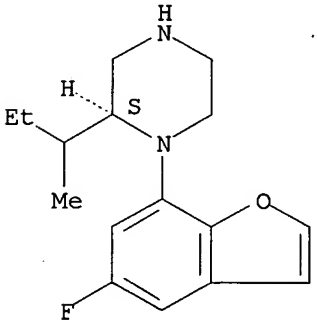


RN 324749-82-8 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-(1-methylpropyl)-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-81-7
CMF C16 H21 F N2 O

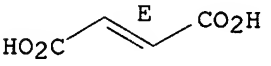
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

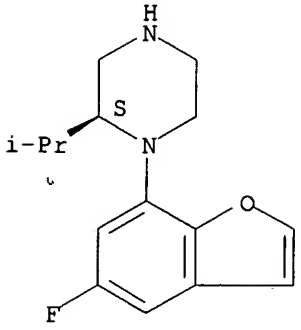


RN 324749-87-3 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2-(1-methylethyl)-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-86-2
CMF C15 H19 F N2 O

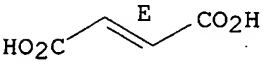
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

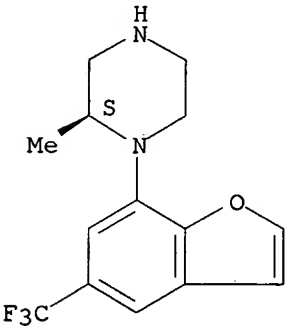


RN 324749-92-0 CAPLUS
CN Piperazine, 2-methyl-1-[5-(trifluoromethyl)-7-benzofuranyl]-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-91-9
CMF C14 H15 F3 N2 O

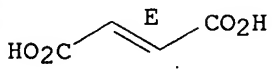
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

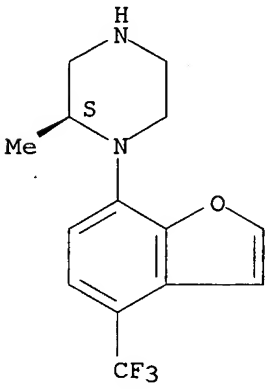


RN 324749-95-3 CAPLUS
CN Piperazine, 2-methyl-1-[4-(trifluoromethyl)-7-benzofuranyl]-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-94-2
CMF C14 H15 F3 N2 O

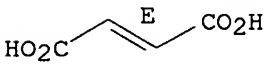
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

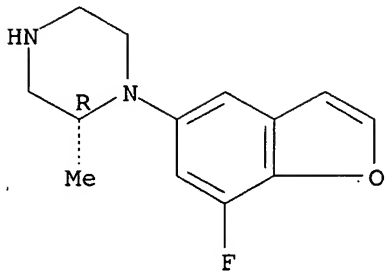


RN 324749-98-6 CAPLUS
CN Piperazine, 1-(7-fluoro-5-benzofuranyl)-2-methyl-, (2R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-97-5
CMF C13 H15 F N2 O

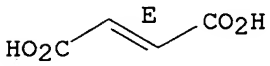
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

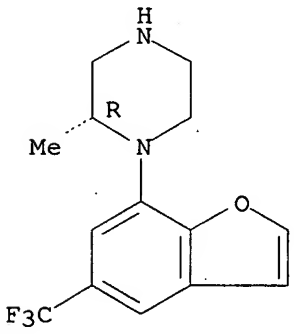


RN 324750-00-7 CAPLUS
CN Piperazine, 2-methyl-1-[5-(trifluoromethyl)-7-benzofuranyl]-, (2R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324749-99-7
CMF C14 H15 F3 N2 O

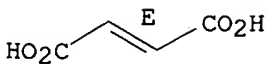
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

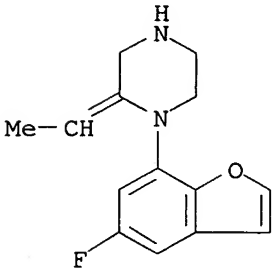
Double bond geometry as shown.



RN 324750-03-0 CAPLUS
CN Piperazine, 2-ethylidene-1-(5-fluoro-7-benzofuranyl)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

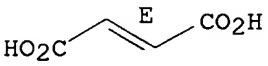
CRN 324750-02-9
CMF C14 H15 F N2 O



CM 2

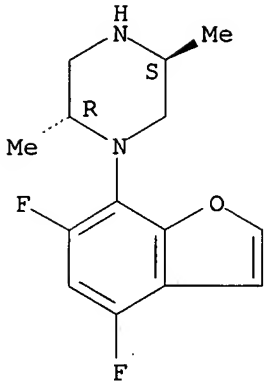
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 324750-06-3 CAPLUS
CN Piperazine, 1-(4,6-difluoro-7-benzofuranyl)-2,5-dimethyl-, hydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

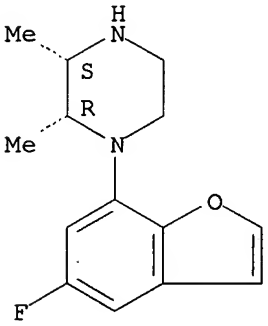
Relative stereochemistry.



●x HCl

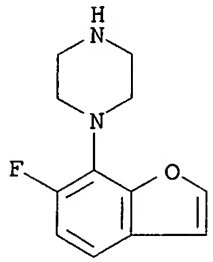
RN 324750-10-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,3-dimethyl-, hydrochloride, (2R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



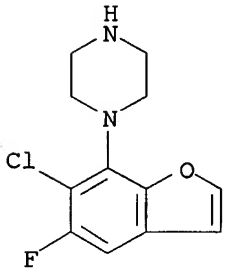
●x HCl

RN 324750-14-3 CAPLUS
CN Piperazine, 1-(6-fluoro-7-benzofuranyl)-, hydrochloride (9CI) (CA INDEX NAME)



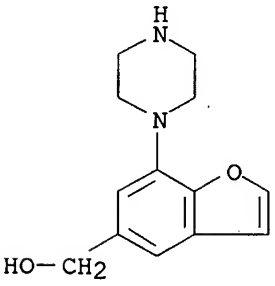
● x HCl

RN 324750-16-5 CAPLUS
CN Piperazine, 1-(6-chloro-5-fluoro-7-benzofuranyl)-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

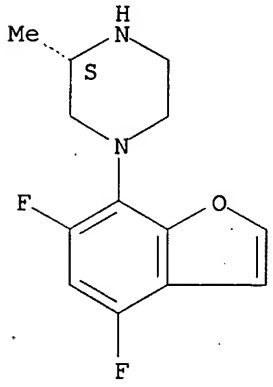
RN 324750-18-7 CAPLUS
CN 5-Benzofuranmethanol, 7-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



x HCl

RN 324750-20-1 CAPLUS
CN Piperazine, 1-(4,6-difluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

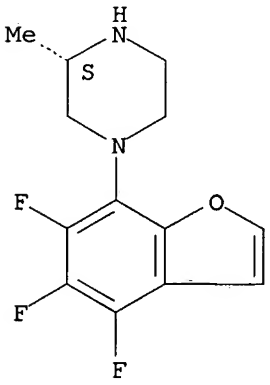
Absolute stereochemistry.



● x HCl

RN 324750-21-2 CAPLUS
CN Piperazine, 3-methyl-1-(4,5,6-trifluoro-7-benzofuranyl)-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

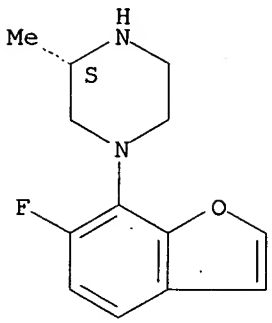
Absolute stereochemistry.



● x HCl

RN 324750-22-3 CAPLUS
CN Piperazine, 1-(6-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

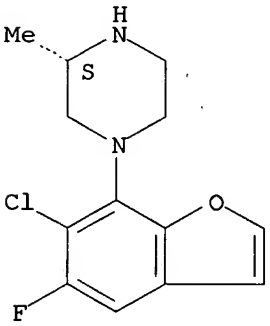
Absolute stereochemistry.



●x HCl

RN 324750-23-4 CAPLUS
CN Piperazine, 1-(6-chloro-5-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

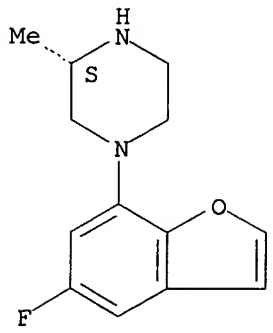
Absolute stereochemistry.



●x HCl

RN 324750-24-5 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

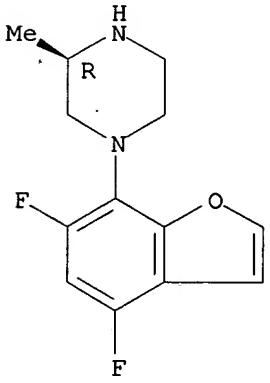
Absolute stereochemistry.



●x HCl

RN 324750-25-6 CAPLUS
CN Piperazine, 1-(4,6-difluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

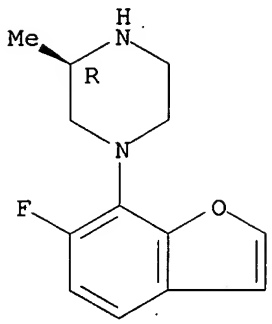
Absolute stereochemistry.



●x HCl

RN 324750-26-7 CAPLUS
CN Piperazine, 1-(6-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

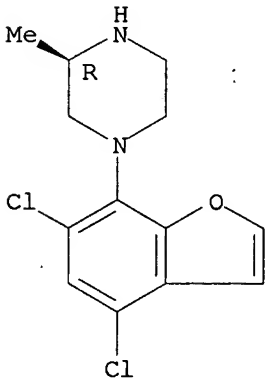
Absolute stereochemistry.



● x HCl

RN 324750-27-8 CAPLUS
CN Piperazine, 1-(4,6-dichloro-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

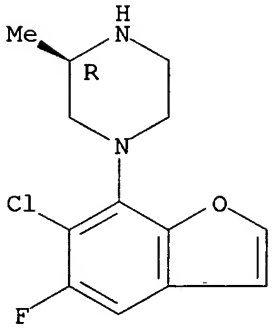
Absolute stereochemistry.



● x HCl

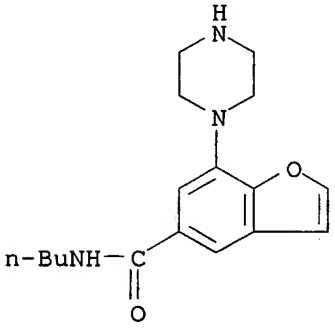
RN 324750-28-9 CAPLUS
CN Piperazine, 1-(6-chloro-5-fluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



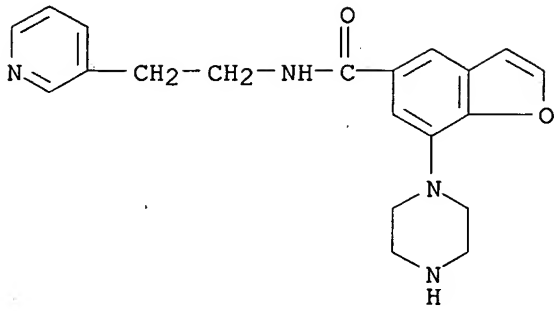
●x HCl

RN 324750-29-0 CAPLUS
CN 5-Benzofurancarboxamide, N-butyl-7-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



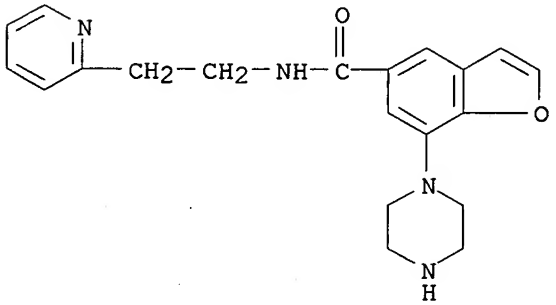
●2 HCl

RN 324750-31-4 CAPLUS
CN 5-Benzofurancarboxamide, 7-(1-piperazinyl)-N-[2-(3-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 324750-32-5 CAPLUS
CN 5-Benzofurancarboxamide, 7-(1-piperazinyl)-N-[2-(2-pyridinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



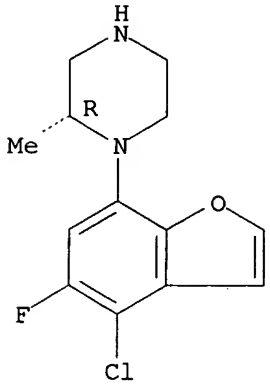
●2 HCl

RN 324750-34-7 CAPLUS
CN Piperazine, 1-(4-chloro-5-fluoro-7-benzofuranyl)-2-methyl-, (2R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324750-33-6
CMF C13 H14 Cl F N2 O

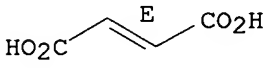
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

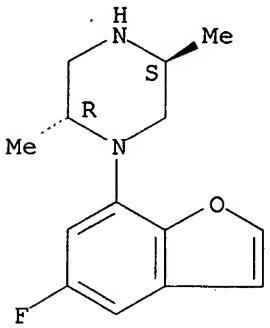


RN 324750-36-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,5-dimethyl-, (2R,5S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324750-35-8
CMF C14 H17 F N2 O

Absolute stereochemistry.

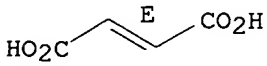


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

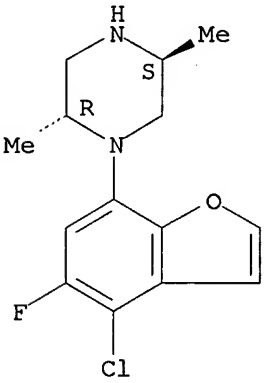


RN 324750-38-1 CAPLUS
CN Piperazine, 1-(4-chloro-5-fluoro-7-benzofuranyl)-2,5-dimethyl-, (2R,5S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324750-37-0
CMF C14 H16 Cl F N2 O

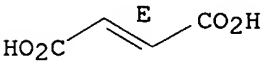
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

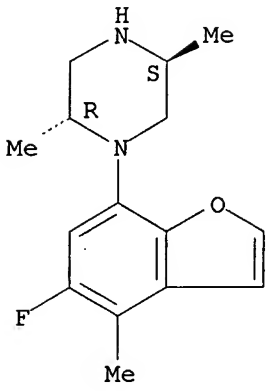


RN 324750-40-5 CAPLUS
CN Piperazine, 1-(5-fluoro-4-methyl-7-benzofuranyl)-2,5-dimethyl-, (2R,5S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 324750-39-2
CMF C15 H19 F N2 O

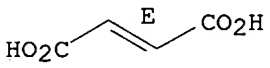
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

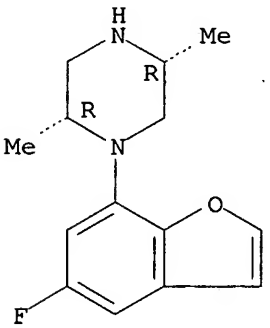


RN 324750-42-7 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,5-dimethyl-, (2R,5R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 324750-41-6
CMF C14 H17 F N2 O

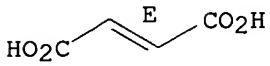
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

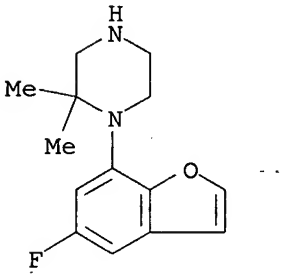
Double bond geometry as shown.



RN 324750-45-0 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-2,2-dimethyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

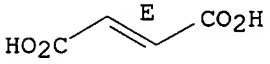
CRN 324750-44-9
CMF C14 H17 F N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

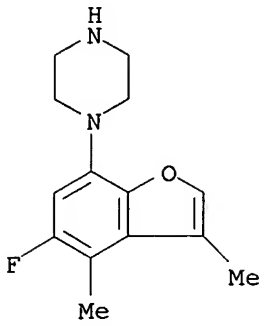
Double bond geometry as shown.



RN 324750-50-7 CAPLUS
CN Piperazine, 1-(5-fluoro-3,4-dimethyl-7-benzofuranyl)-, ethanedioate (9CI) (CA INDEX NAME)

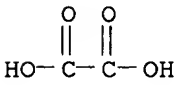
CM 1

CRN 324750-49-4
CMF C14 H17 F N2 O

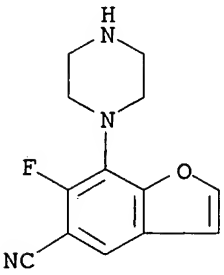


CM 2

CRN 144-62-7
CMF C2 H2 O4



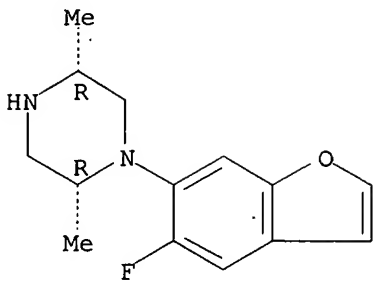
RN 324750-51-8 CAPLUS
CN 5-Benzofurancarbonitrile, 6-fluoro-7-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 324750-53-0 CAPLUS
CN Piperazine, 1-(5-fluoro-6-benzofuranyl)-2,5-dimethyl-, hydrochloride, (2R,5R)-rel- (9CI) (CA INDEX NAME)

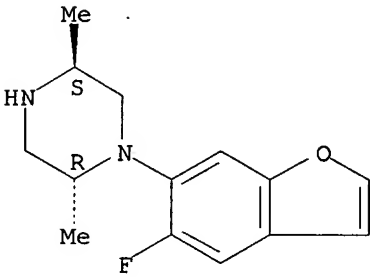
Relative stereochemistry.



● x HCl

RN 324750-54-1 CAPLUS
CN Piperazine, 1-(5-fluoro-6-benzofuranyl)-2,5-dimethyl-, hydrochloride, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



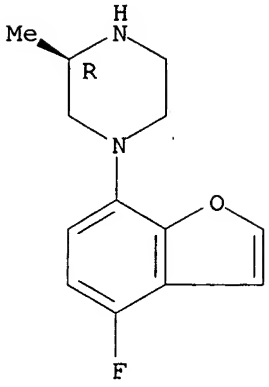
● x HCl

RN 324750-56-3 CAPLUS
CN Piperazine, 1-(4-fluoro-7-benzofuranyl)-3-methyl-, (3R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

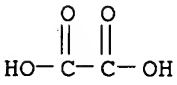
CRN 324750-55-2
CMF C13 H15 F N2 O

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

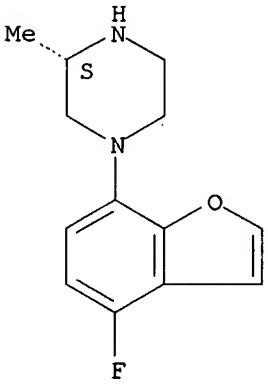


RN 324750-58-5 CAPLUS
CN Piperazine, 1-(4-fluoro-7-benzofuranyl)-3-methyl-, (3S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

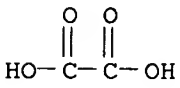
CRN 324750-57-4
CMF C13 H15 F N2 O

Absolute stereochemistry.



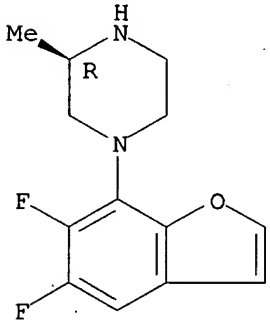
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 324750-59-6 CAPLUS
CN Piperazine, 1-(5,6-difluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

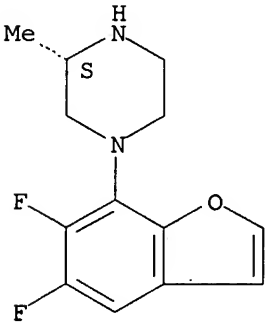
Absolute stereochemistry.



●x HCl

RN 324750-60-9 CAPLUS
CN Piperazine, 1-(5,6-difluoro-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

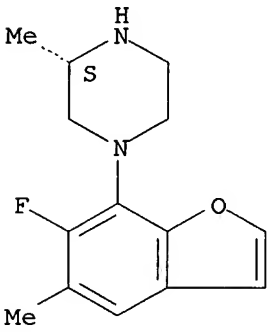
Absolute stereochemistry.



●x HCl

RN 324750-61-0 CAPLUS
CN Piperazine, 1-(6-fluoro-5-methyl-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

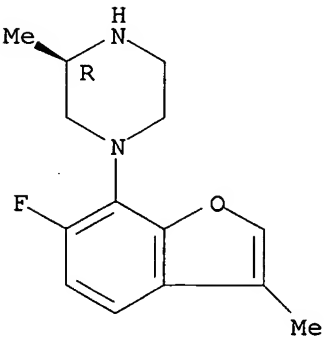
Absolute stereochemistry.



●x HCl

RN 324750-62-1 CAPLUS
CN Piperazine, 1-(6-fluoro-3-methyl-7-benzofuranyl)-3-methyl-, hydrochloride, (3R)- (9CI) (CA INDEX NAME)

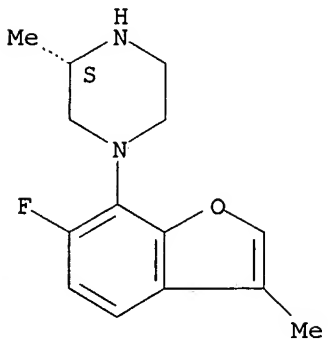
Absolute stereochemistry.



●x HCl

RN 324750-64-3 CAPLUS
CN Piperazine, 1-(6-fluoro-3-methyl-7-benzofuranyl)-3-methyl-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

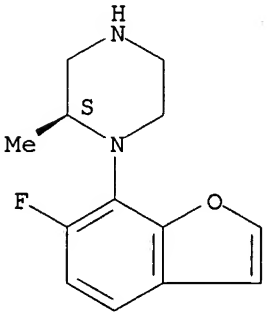
Absolute stereochemistry.



●x HCl

RN 324750-65-4 CAPLUS
CN Piperazine, 1-(6-fluoro-7-benzofuranyl)-2-methyl-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

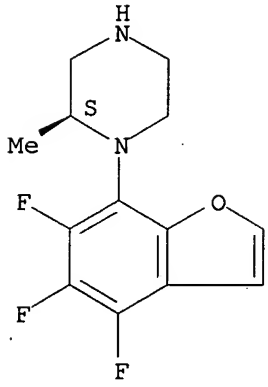
Absolute stereochemistry.



●x HCl

RN 324750-66-5 CAPLUS
CN Piperazine, 2-methyl-1-(4,5,6-trifluoro-7-benzofuranyl)-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

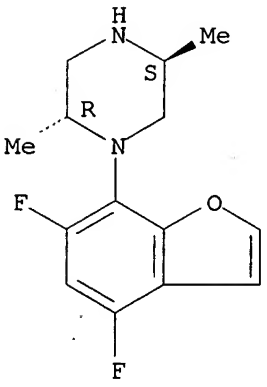
Absolute stereochemistry.



● x HCl

IT **324750-08-5**, trans-1-(4,6-Difluorobenzofur-7-yl)-2,5-dimethylpiperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of benzofurylpiperazines and benzofurylhomopiperazines useful as serotonin agonists)
RN 324750-08-5 CAPLUS
CN Piperazine, 1-(4,6-difluoro-7-benzofuranyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

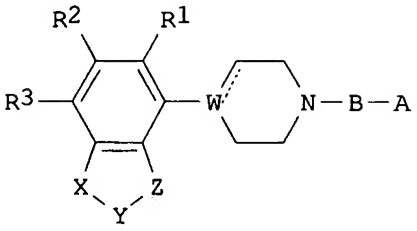
Relative stereochemistry.



L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:513686 CAPLUS
DN 133:120348
TI Preparation of piperidine, tetrahydropyridine and piperazine derivatives as serotonin re-uptake inhibitors and 5-HT1A antagonists
IN Moltzen, Ejner Knud; Krog-Jensen, Christian; Bjornholm, Berith
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043382	A1	20000727	WO 2000-DK26	20000121
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2361059	AA	20000727	CA 2000-2361059	20000121
	EP 1149087	A1	20011031	EP 2000-901481	20000121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000009007	A	20011127	BR 2000-9007	20000121
	JP 2002535322	T2	20021022	JP 2000-594798	20000121
	ZA 2001005548	A	20020705	ZA 2001-5548	20010705
	US 2002035113	A1	20020321	US 2001-901585	20010709
	US 6596722	B2	20030722		
	NO 2001003538	A	20010917	NO 2001-3538	20010717
	BG 105781	A	20020531	BG 2001-105781	20010803
	US 2002173512	A1	20021121	US 2002-147950	20020516
PRAI	DK 1999-84	A	19990122		
	WO 2000-DK26	W	20000121		
	US 2001-901585	A3	20010709		

OS MARPAT 133:120348
GI



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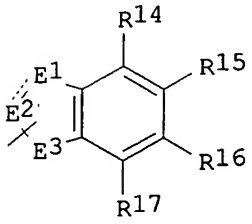
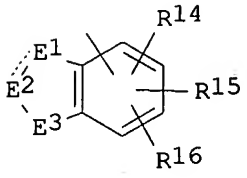
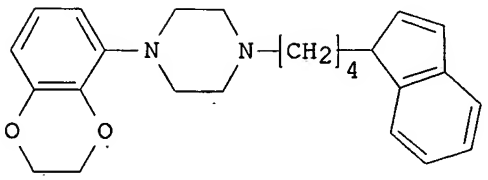


II



III



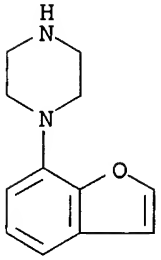
IV

AB The title compds. [I; B = alkylene, alkenylene, alkynylene; X = O, S, CR4R5; Y CR6R7, CR6R7CR8R9, CR6:CR7; X and Y together form a group CR4:CR5, CR4:CR5CR6R7; Z = O, S; W = N, C, CH; the dotted line is an optional bond; R4-R9 = H, halo, CF3, etc.; A = II, III (wherein E1-E3 = O, S, N, etc.; provided that E2 and E1 and/or E3 may not simultaneously be O, or S; R14-R17 = H, halo, CF3, etc.); R1-R3 = H, halo, CF3, etc.] and their acid addn. salts, useful for the treatment of affective disorders, such as depression, psychosis, anxiety disorders including general anxiety disorder, panic disorder, obsessive compulsive disorder, and eating disorders, were prepd. Thus, reacting 4-(1-indenyl)butyl methanesulfonate with 1-(1,4-benzodioxan-5-yl)piperazine in the presence of K2CO3 in 3-methyl-2-pentanone followed by conversion of the free base to its oxalate afforded IV.oxalate which showed IC50 of 1.7 nM against 3H-5-CT binding.

IT **98224-26-1**, 1-(Benzofuran-7-yl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperidine, tetrahydropyridine and piperazine derivs. as serotonin re-uptake inhibitors and 5-HT1A antagonists)

RN 98224-26-1 CAPLUS

CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)

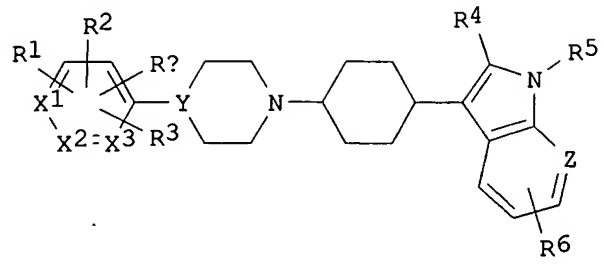


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:475638 CAPLUS
DN 133:105051
TI Preparation of arylpiperazinyl-cyclohexyl indoles for the treatment of depression
IN Mewshaw, Richard Eric; Zhou, Ping; Zhou, Dahui; Meagher, Kristin Lynne; Asselin, Magda; Evrard, Deborah Ann; Gilbert, Adam Matthew
PA American Home Products Corporation, USA
SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000040554	A1	20000713	WO 2000-US223	20000106
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000007424	A	20011009	BR 2000-7424	20000106
	EP 1147083	A1	20011024	EP 2000-903114	20000106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002534411	T2	20021015	JP 2000-592263	20000106
	ZA 2001005190	A	20020923	ZA 2001-5190	20010622
	NO 2001003369	A	20010903	NO 2001-3369	20010706
PRAI	US 1999-226583	A	19990107		
	WO 2000-US223	W	20000106		

OS MARPAT 133:105051
GI



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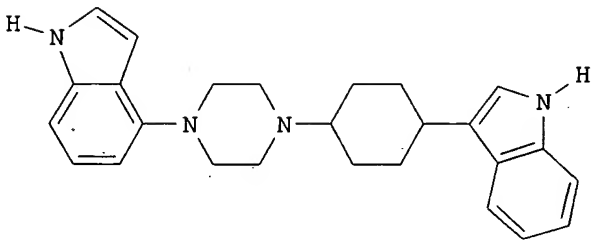


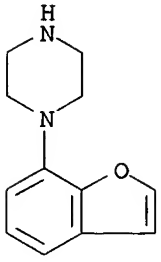
II

AB The title compds. [I; Ra, R1-R3 = H, halo, CF3, etc.; two adjacent of Ra and R1-3 together can form (un)substituted 5-7 membered carbocyclic or heterocyclic ring; R4 = H; halo, alkyl; R5 = H, alkyl, arylalkyl, aryl; R6 = H, halo, CF3, etc.; X1-X3 = each C or one of X1-X3 may be N; Y = CH, N; Z = C, N] and their pharmaceutically acceptable salts, useful for the treatment of serotonin-affected neurol. disorders, were prepd. E.g., a multi-step synthesis of cis-II and trans-II which showed Ki of 32.0 nM and 5.29 nM against 5-HT1A binding, resp., was given.

IT **98224-26-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylpiperazinyl-cyclohexyl indoles for the treatment of depression)

RN 98224-26-1 CAPLUS

CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)

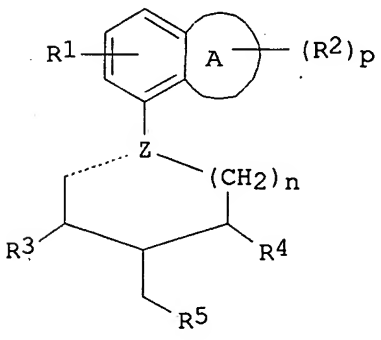


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:249044 CAPLUS
DN 130:282087
TI Substituted pyridylmethylpiperazine and -piperidine derivatives, their preparation and their use for treating central nervous system (CNS) disorders
IN Feenstra, R. W.; Den Hartog, J. A. J.; Kruse, C. G.; Tulp, M. T. M.; Long, S. K.
PA Duphar International Research B.V., Neth.
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 908458	A1	19990414	EP 1998-203154	19980921
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CA 2247734	AA	19990324	CA 1998-2247734	19980921
	NO 9804380	A	19990325	NO 1998-4380	19980921
	AU 9886079	A1	19990415	AU 1998-86079	19980921
	AU 733526	B2	20010517		
	CN 1220265	A	19990623	CN 1998-119652	19980921
	CN 1093857	B	20021106		
	JP 11302279	A2	19991102	JP 1998-283578	19980921
	NZ 331984	A	20000228	NZ 1998-331984	19980921
	BR 9803497	A	20000502	BR 1998-3497	19980921
	RU 2197488	C2	20030127	RU 1998-117621	19980921
	US 6090812	A	20000718	US 1998-158520	19980922
	ZA 9808749	A	19990326	ZA 1998-8749	19980923
PRAI	EP 1997-202950	A	19970924		

OS MARPAT 130:282087
GI



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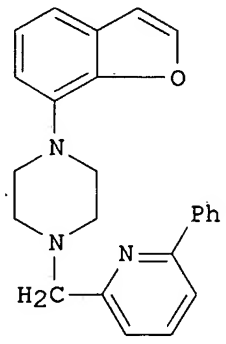


II

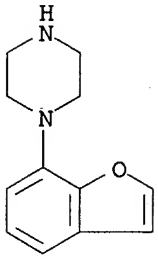
AB The title compds. I [A represents a heterocyclic group of 5-7 ring atoms wherein 1-3 heteroatoms from the group O, N and S are present; R1 = H, F; R2 = C1-4-alkyl, C1-4-alkoxy, oxo; p = 0, 1, 2; Z = CH, N; the dotted line is a single bond when Z is N and a single or double bond when Z is CH; R3, R4 = H, C1-4-alkyl; n = 1, 2; R5 = 2-pyridyl, 3-pyridyl or 4-pyridyl

substituted at the meta-position with respect to the methylene bridge with a group Y, and optionally substituted with (R6)q, Y is Ph, furanyl or thienyl, which groups may be substituted with 1-3 substituents of the group hydroxy, halogen, CF3, C1-4-alkoxy, C1-4-alkyl, cyano, aminocarbonyl, mono- or di-C1-4-alkylaminocarbonyl, R6 = halo, OH, C1-4-alkoxy, C1-4-alkyl, and q is 0, 1, 2, 3], which show affinities for both the dopamine D2-, D3-, D4-receptors and the serotonin 5-HT1A receptor (no data), were prepd. E.g., piperazine deriv. II was prepd.

IT **115464-81-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyridylmethylpiperazines and -piperidines and their affinities for dopamine D2-, D3-, D4-receptors and serotonin 5-HT1A receptors)

RN 115464-81-8 CAPLUS

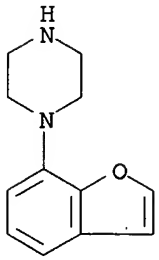
CN Piperazine, 1-(7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

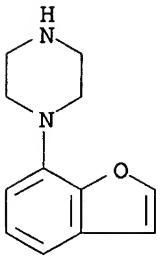
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:302772 CAPLUS
DN 129:117438
TI 5-HT1A-affinity, activity and selectivity versus D2-receptors of flesinoxan and analogous N-arylpiperazines
AU Kuipers, Wilma
CS Solvay Pharmaceuticals Research Laboratories, Department of Medicinal Chemistry, Weesp, 1380 DA, Neth.
SO Pharmacochemistry Library (1998), 29(Trends in Drug Research II), 63-74
CODEN: PHLIDQ; ISSN: 0165-7208
PB Elsevier Science B.V.
DT Journal
LA English
AB 5-HT1A-receptor affinity of the agonist flesinoxan and its selectivity with respect to D2 receptors were investigated. Effects of N4-substitution are quite similar for 5-HT1A- and D2-receptor affinity, and are dominated by lipophilicity at a distance of four carbon atoms from the piperazine N4-atom. The amide group of flesinoxan is unlikely to interact with the 5-HT1A receptor, and probably acts as a spacer. Selectivity for 5-HT1A vs. D2 receptors can be gained from the arylpiperazine substitution. The bioactive conformation of flesinoxan at 5-HT1A receptors was studied by conformational anal. of a rigidized analog. The N4-ethyl-(p-fluorobenzamide) substituent is probably directed anti-periplanar relative to the H(N4)-atom. Flesinoxan and two of its congeners were docked into a model of the 5-HT1A receptor in the putative bioactive conformation. Amino acid residues surrounding the N4-ethyl-(p-fluorobenzamide) substituent are also present in D2 receptors. In contrast, several residues that are in contact with the benzodioxane moiety, differ from those in D2 receptors. These model-based observations agree with the 5-HT1A SAR data, and probably account for the selectivity of flesinoxan vs. D2 receptors.
IT **98224-26-1**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(5-HT1A-affinity, activity and selectivity vs. D2-receptors of flesinoxan and analogous N-arylpiperazines)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)

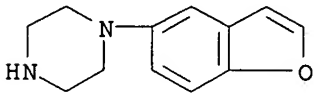


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:233623 CAPLUS
DN 128:308473
TI Synthesis of arylpiperazines via palladium-catalyzed aromatic amination reactions of bromoarenes with N-tert-butoxycarbonylpiperazine
AU Kerrigan, Frank; Martin, Claire; Thomas, Gerard H.
CS Knoll Pharmaceuticals, Research and Development Department, Nottingham, NG1 1GF, UK
SO Tetrahedron Letters (1998), 39(15), 2219-2222
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 128:308473
AB Reaction of a series of bicyclic bromoarenes with N-tert-butoxycarbonylpiperazine under palladium-catalyzed coupling conditions followed by routine removal of the Boc group with trifluoroacetic acid in dichloromethane gave the corresponding arylpiperazines in moderate to good yield.
IT **98224-26-1P 206347-31-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arylpiperazines via palladium-catalyzed amination of bromoarenes with N-tert-butoxycarbonylpiperazine)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 206347-31-1 CAPLUS
CN Piperazine, 1-(5-benzofuranyl)- (9CI) (CA INDEX NAME)

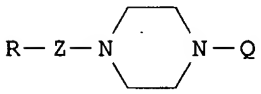


RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

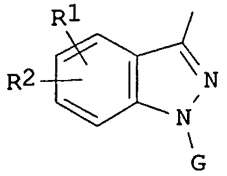
L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:701490 CAPLUS
DN 128:22921
TI Preparation of piperazines having calmodulin inhibitory activity
IN Yamamoto, Kenjiro; Hasegawa, Atsushi; Kubota, Hideki; Andodeceased, Masahiro; Yamaguchi, Hitoshi
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 242,842, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5681954	A	19971028	US 1995-416311	19950404
PRAI JP 1993-11277		19930514		
US 1994-242842		19940516		

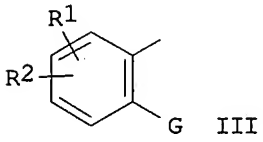
OS MARPAT 128:22921
GI



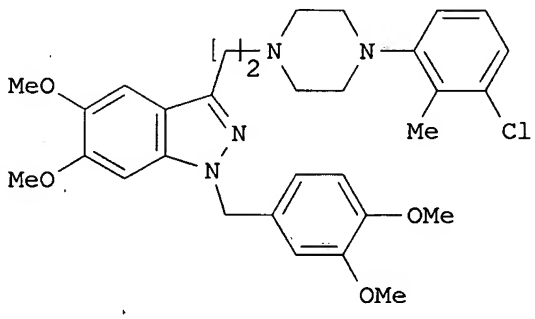
I



II



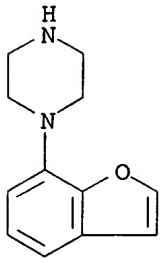
III



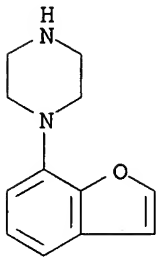
IV

AB The title compds. [I; Q = C1-6 alkyl, C1-6 alkoxy, CF3, etc.; R = II or III (wherein G = C1-6 alkyl, (un)substituted Ph, etc.; R1, R2 = C1-6 alkyl, C1-6 alkoxy, CF3, etc.); Z = C1-3 alkylene, C2-4 alkenylene, C(O), etc.], useful as a treating agent for diseases in the circulatory organs or in the cerebral region which are caused by excessive activation of calmodulin, were prepd. Thus, treatment of 1-{[5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl]acetyl}-4-(3-chloro-2-methylphenyl)piperazine with BH3*THF in THF afforded the title compd. IV which showed 19.2% increase of survival time on nitrogen-induced hypoxia model in mouse, and IC50 of 3.1 against calmodulin-dependent PDE.
IT **98224-26-1**, 1-(7-Benzofuranyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperazines having calmodulin inhibitory activity)
RN 98224-26-1 CAPLUS

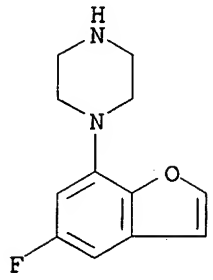
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



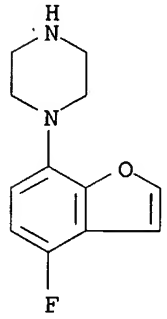
L4 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:467927 CAPLUS
DN 127:130464
TI Conformational analysis and theoretical quantitative size and shape-affinity relationships of N4-protonated N1-arylpiperazine 5-HT1A serotoninergic ligands
AU Cocchi, M.; Fanelli, F.; Menziani, M. C.; De Benedetti, P. G.
CS Dipartimento Chimica, Univ. Studi di Modena, Modena, 41100, Italy
SO THEOCHEM (1997), 397, 129-145
CODEN: THEODJ; ISSN: 0166-1280
PB Elsevier
DT Journal
LA English
AB Conformational anal. for 24 arylpiperazines in their neutral and N4-protonated forms has been performed in the AM1 framework. Both these derivs. and eight ref. compds. considered in this study are ligands of the 5-HT1A serotoninergic receptor. Quantum chem. reactivity indexes, solvation free energies (AMSOL 5.0) and mol. modeling derived ad hoc size and shape descriptors have been computed and correlated with the literature 5-HT1A binding affinity data values. The quant. size-shape affinity relationships obtained confirm the validity and versatility of the ad hoc descriptors employed. A different role has been postulated for the neutral and protonated forms of the arylpiperazines considered in the mol. recognition process of the 5-HT1A receptor binding site.
IT **98224-26-1 105685-04-9 105685-20-9 193148-20-8**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(conformational anal. and theor. quant. size and shape-affinity relationships of N4-protonated N1-arylpiperazine 5-HT1A serotoninergic ligands)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



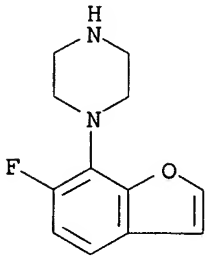
RN 105685-04-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-20-9 CAPLUS
CN Piperazine, 1-(4-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



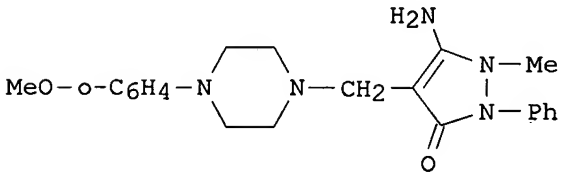
RN 193148-20-8 CAPLUS
CN Piperazine, 1-(6-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:205145 CAPLUS
DN 126:199571
TI Preparation of piperazine derivatives as therapeutic agents
IN Kerrigan, Frank; Cheetham, Sharon Crawford; Watts, John Paul
PA Knoll Aktiengesellschaft, Germany; Kerrigan, Frank; Cheetham, Sharon Crawford; Watts, John Paul
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9703067	A1	19970130	WO 1996-EP2889	19960702
	W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9665171	A1	19970210	AU 1996-65171	19960702
	EP 839144	A1	19980506	EP 1996-924846	19960702
	EP 839144	B1	20010919		
	R: DE, FR, GB, IT				
	JP 11508888	T2	19990803	JP 1996-504630	19960702
	ZA 9605923	A	19980112	ZA 1996-5923	19960712
	US 6114334	A	20000905	US 1997-973843	19971217
PRAI	GB 1995-14389	A	19950713		
	GB 1996-6674	A	19960329		
	WO 1996-EP2889	W	19960702		

OS MARPAT 126:199571
GI

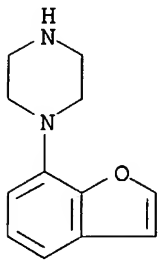


II

AB R1Z1Z2R2 [I; R1 = Ph, pyridyl, 1,4-benzodioxin-5-yl, etc.; R2 = substituted 2-3-N-contg. 5-membered [(thi)oxo]heterocyclyl; Z1 = piperazine-1,4-diyl; Z2 = alkylene], having affinity for, e.g., 5-HT-1A and/or .alpha.1 and/or .alpha.2 and/or D2 receptors (sic), were prepd. Thus, EtOC(NH2):CHCO2Et was cyclocondensed with PhNHNHMe and the product condensed with 1-(2-methoxyphenyl)piperazine and HCHO to give title compd. II. Data for biol. activity of I were given.

IT **98224-26-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperazine derivs. as therapeutic agents)

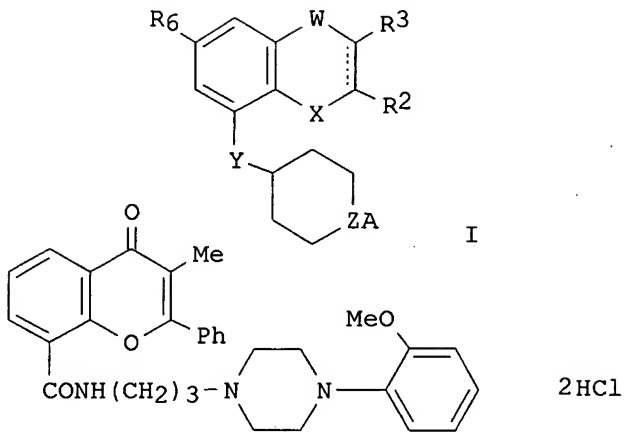
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:169157 CAPLUS
DN 126:225315
TI Bicyclic heterocyclic derivatives having .alpha.1-adrenergic and 5HT1A serotonergic activities
IN Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa, Rodolfo
PA Recordati S.A., Chemical and Pharmaceutical Company, Switz.
SO U.S., 84 pp., Cont.-in-part of U.S. 5,474,994.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5605896	A	19970225	US 1994-299188	19940831
	US 5403842	A	19950404	US 1992-888775	19920526
	AU 9336296	A1	19930913	AU 1993-36296	19930223
	RO 112111	B3	19970530	RO 1994-1404	19930223
	PL 175556	B1	19990129	PL 1993-304889	19930223
	RU 2128656	C1	19990410	RU 1994-43324	19930223
	SK 280143	B6	19990910	SK 1994-1007	19930223
	ZA 9301278	A	19931118	ZA 1993-1278	19930224
	LT 3038	B	19940925	LT 1993-354	19930224
	CN 1079738	A	19931222	CN 1993-105852	19930526
	CN 1040434	B	19981028		
	US 5474994	A	19951212	US 1993-67861	19930526
	FI 9403876	A	19940823	FI 1994-3876	19940823
	NO 9403140	A	19940825	NO 1994-3140	19940825
PRAI	IT 1992-MI408	A	19920225		
	US 1992-888775	A2	19920526		
	US 1993-67861	A2	19930526		
	EP 1993-301264	A	19930222		
	WO 1993-EP420	A	19930223		

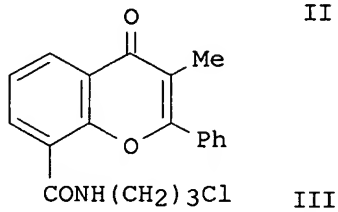
OS MARPAT 126:225315
GI



I

2HCl

II



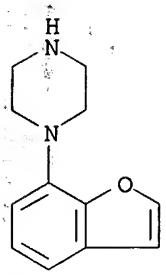
III

AB Bicyclic heterocyclic derivs., such as I [X = N, O, S; W = C(O), C(S), CH(OH), bond; R2 = H, optionally substituted alkyl, alkenyl, alkylnyl, carbocycle, heterocycle; R3 = alkyl, hydroxyalkyl, Ph, OH, alkoxy, alkoxyalkyl; R6 = H, halogen, NO2, NH2, AcNH, mono-, dialkylamino, CN, OH, alkoxy, alkyl; Y = CO, CO2, CONH, CH(OH), CH:CH, CH:CHCO2, CH:CHCONH, CH2NH, CH2NHCO, CH2NHSO2, CH2O, CH2S, NH, NHCO, NHCONH, NHSO2, O, S, SO2NH, CONHO, CSNH, NHCO2, COS, CONH(CH2)m, m = 1-6; Z = N, A = (un)substituted Ph, pyrimidinyl, 1,4-benzodioxan-8-yl, benzopyran-8-yl, benzofuran-7-yl, dihydrobenzopyran-8-yl; Z = CH2N; Z = CH, A = one or two Ph, 4-FC6H4CO, 2-oxo-1-benzimidazolinyl, (CH2)nOA, n = 0-2], and their pharmaceutically acceptable salts useful as .alpha.1-adrenergic and 5HT1A serotonergic agents for the treatment of hypertension, urethral and lower urinary tract contractions, and other disorders are described. Thus, benzopyran II was prepd. by heating 1-(2-methoxyphenyl)piperazine with benzopyran III at 180.degree. for 5 h. II had IC50 = 29 nM for .alpha.1-adrenergic receptor binding, IC50 = 9 nM for 5HT1A receptor binding, ED25 = 45 .mu.g/kg i.v. hypotensive effect and ED25 = 1.4 .mu.g/kg in Na-induced urethral contractility assays.

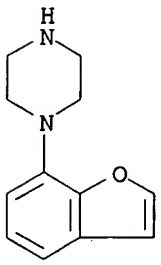
IT **98224-26-1**, 1-(7-Benzofuranyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of bicyclic heterocyclic derivs. having .alpha.1-adrenergic and 5HT1A serotonergic activities)

RN 98224-26-1 CAPLUS

CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



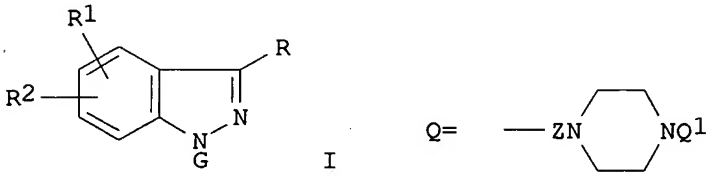
L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:41473 CAPLUS
DN 126:69956
TI 5-HT1A- versus D2-Receptor Selectivity of Flesinoxan and Analogous N4-Substituted N1-Arylpiperazines
AU Kuipers, Wilma; Kruse, Chris G.; van Wijngaarden, Ineke; Standaar, Piet J.; Tulp, Martin Th. M.; Veldman, Nora; Spek, Anthony L.; IJzerman, Adriaan P.
CS Department of Medicinal Chemistry, Solvay Duphar Research Laboratories, Weesp, 1380 DA, Neth.
SO Journal of Medicinal Chemistry (1997), 40(3), 300-312
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The authors investigated the structural requirements for high 5-HT1A affinity of the agonist flesinoxan and its selectivity vs. D2 receptors. For this purpose a series of arylpiperazine congeners of flesinoxan were synthesized and evaluated for their ability to displace [3H]-8-OH-DPAT and [3H]spiperone from their specific binding sites in rat frontal cortex homogenates and rat striatum, resp. Variations were made in the N4-substituent and the arylpiperazine region. Effects of N4-substitution in the investigated compds. appeared to be quite similar for 5-HT1A- and D2-receptor affinity. Lipophilicity at a distance of 4 carbon atoms from the piperazine N4 atom seems to be the main contributing factor to affinity for both receptors. These data show that the amide group in the flesinoxan N4-substituent is unlikely to interact with the 5-HT1A receptor but, instead, acts as a spacer. In contrast to the structure-activity relationships (SARs) of the N4-substituents, selectivity for 5-HT1A vs. D2 receptors was gained by the arylpiperazine substitution pattern of flesinoxan. Restriction of flexibility of the N4-(benzoylamino)ethyl substituent and its effect on 5-HT1A-receptor affinity and activity were also studied. The data show that in the bioactive conformation, the N4-[(p-fluorobenzoyl)amino]ethyl substituent is probably directed anti-periplanar relative to the HN4 atom. These results were used to dock flesinoxan and 2 of its congeners into a previously reported model of the 5-HT1A receptor. Amino acid residues surrounding the N4-[(p-fluorobenzoyl)amino]ethyl substituent of flesinoxan and its congeners are also present in D2 receptors. In contrast, several residues that contact the benzodioxane moiety differ from those in D2 receptors. These observations from the 3D model agree with the 5-HT1A SAR data and probably account for the selectivity of flesinoxan vs. D2 receptors.
IT **98224-26-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(synthesis and 5-HT1A- vs. D2-receptor selectivity of flesinoxan and analogous N4-substituted N1-arylpiperazines)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



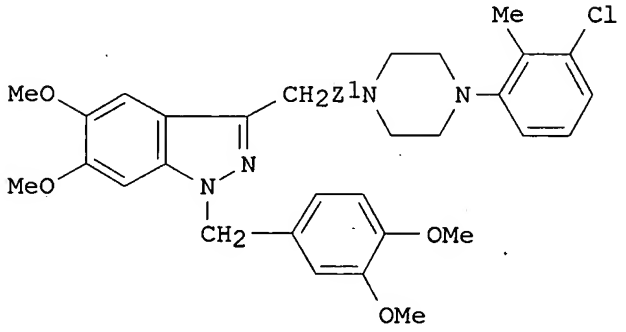
L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:694212 CAPLUS
DN 125:328730
TI Preparation of 3-(piperazinoalkyl)indole derivatives as calmodulin antagonists
IN Hasegawa, Atsushi; Makino, Tooru; Yamamoto, Kenjiro
PA Daiichi Seiyaku Co, Japan
SO Jpn. Kokai Tokkyo Koho, 49 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08225535	A2	19960903	JP 1995-294071	19951113
PRAI JP 1994-280963		19941115		

OS MARPAT 125:328730
GI



I



II

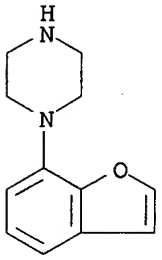
AB The title compds. [I; R = Q; wherein Z = single bond, C1-3 alkylene, C2-4 alkenylene, C1-3 hydroxyalkylene, CO, COCO, C1-2 alkylene contg. one CO group at the end or middle of the C chain; Q1 = C1-8 alkyl, C3-8 cycloalkyl, (un)substituted aryl, heterocyclyl, diarylmethyl, or aryl-C1-6 alkyl; R1, R2 = C1-6 alkyl or alkoxy, CF3, CF3CH2, CF3O, CF3CH2O, C1-6 alkylthio, alkylsulfinyl, or alkylsulfonyl, C1-6 alkylcarbonyl, C2-7 alkanoylamino, NH2, mono- di(C1-6 alkyl)amino, OH, halo, C2-6 perfluoroalkyl, cyano, NO2, CO2H, C1-6 alkoxycarbonyl, tetrazolyl, SO2NH2, methylenedioxy, ethylenedioxy, morpholinosulfonyl, piperazinosulfonyl, 4-(C1-6 alkyl)piperazinosulfonyl, 4-[mono- or di(C1-6 alkyl)amino]piperidino, 4-aminopiperidino; G = C1-6 alkyl, (un)substituted Ph, PhCO, PhCOCH2, .alpha.-hydroxybenzyl, phenyl-C1-6 alkyl, 5-membered arom. heterocyclyl or heterocyclyl-C1-6 alkyl contg. heteroatoms (a) N, O, or S or (b) one or two N and another N, O, or S, 6-membered arom. heterocyclyl, heterocyclylcarbonyl, or heterocyclyl-C1-3 alkyl contg. one

or two N, phenylhydroxyalkyl, or 2-phenylethynyl, tetrazolyl, morpholino, etc.] are prepd. These compds. possess calmodulin-inhibitory, antihypoxic, or brain edema-improving activity, inhibit delayed neuronal death in hippocampus, and are useful for the treatment of circulatory diseases or brain diseases. Thus, 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazole-3-acetic acid was condensed with 1-(3-chloro-2-methylphenyl)piperazine using di(2-pyridyl) disulfide and Ph3P in CH2Cl2 at room temp. to give an intermediate (II; Z1 = CO), which was reduced by borane-THF complex in THF under reflux to give the title compd. II (Z1 = CH2). The latter compd. in vitro showed IC50 of 3.1 .mu.g/mL against Ca/calmodulin-dependent phosphodiesterase.

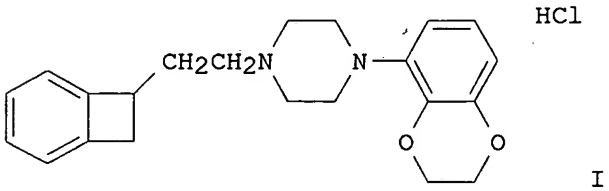
IT **98224-26-1**, 1-(7-Benzofuranyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3-(piperazinoalkyl)indole derivs. as calmodulin antagonists for disease treatment)

RN 98224-26-1 CAPLUS

CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:790900 CAPLUS
DN 124:134742
TI Characterization of Potent and Selective Antagonists at Postsynaptic 5-HT1A Receptors in a Series of N4-Substituted Arylpiperazines
AU Peglion, Jean-Louis; Canton, Herve; Bervoets, Karin; Audinot, Valerie; Brocco, Mauricette; Gobert, Alain; Le Marouille-Girardon, Sylvie; Millan, Mark J.
CS Institut de Recherches Servier, Suresnes, 92150, Fr.
SO Journal of Medicinal Chemistry (1995), 38(20), 4044-55
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



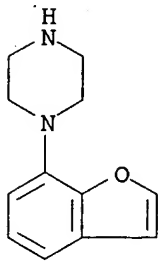
I

AB Benzocycloalkyl and benzocycloalkenyl moieties linked, directly or via an alkyl chain, to oxygen-bearing heteroarylpiperazines were synthesized, in an attempt to obtain potent and selective antagonists at postsynaptic 5-HT1A receptors. From the numerous arylpiperazines described in the literature, 1-(2,3-dihydro-1,4-benzodioxin-5-yl)piperazine was chosen as a model of an arylpiperazine in view of its selectivity for 5-HT1A receptors vs. .alpha.1-, .alpha.2-, and .beta.-adrenergic receptors, as well as dopamine D1 and D2 receptors. Two other closely-related arylpiperazines, 1-(1,5-benzodioxepin-6-yl)piperazine and 1-(benzofuran-7-yl)piperazine, were also examd. in this study. All compds. showed high affinity at 5-HT1A sites (8.10 .ltoreq. pKis < 9.35), and the majority behaved as antagonists in vivo in blocking the hypothermia induced by the 5-HT1A agonist 8-OH-DPAT in the absence of a marked effect alone at equiv. doses. An in vivo evaluation of dopamine D2 receptor antagonist properties revealed that the majority of compds. was devoid of activity at this site, in marked contrast to BMY 7378 which displayed virtually no selectivity for 5-HT1A vs. dopamine D2 receptors. Moreover, six compds. of the present series, including I, showed >10-fold selectivity in vitro for 5-HT1A vs. .alpha.1-adrenergic receptors. I displayed an optimal compromise between potency (pKi = 8.75), marked antagonist activity, and selectivity toward .alpha.1-adrenergic (81-fold) and dopamine D2 195-fold receptors. These characteristics clearly distinguish I from previously-reported ligands such as the postsynaptic 5-HT1A antagonist BMY 7378 and the weak partial agonist NAN 190 which, in contrast to the compds. of this series, belong to the well-exemplified class of imido derivs. of (o-methoxyphenyl)piperazines. The availability of I (S 15535) should facilitate the further elucidation of the functional role and potential therapeutic significance of 5-HT1A receptors.
IT **98224-26-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(potent and selective antagonists at postsynaptic 5-HT1A receptors in a series of N4-substituted arylpiperazines)

RN 98224-26-1 CAPLUS

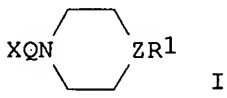
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:586488 CAPLUS
DN 123:9463
TI Preparation of (indolylalkyl)piperidines and -piperazines as drugs.
IN Boettcher, Henning; Seyfried, Christoph; Bartoszyk, Gerd; Greiner, Hartmut
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4333254	A1	19950406	DE 1993-4333254	19930930
	EP 648767	A1	19950419	EP 1994-114798	19940920
	EP 648767	B1	19970528		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 153663	E	19970615	AT 1994-114798	19940920
	ES 2105454	T3	19971016	ES 1994-114798	19940920
	AU 9474244	A1	19950413	AU 1994-74244	19940927
	AU 679774	B2	19970710		
	CN 1106811	A	19950816	CN 1994-116585	19940927
	CN 1056610	B	20000920		
	CA 2133152	AA	19950331	CA 1994-2133152	19940928
	JP 07149762	A2	19950613	JP 1994-233538	19940928
	PL 178137	B1	20000331	PL 1994-305216	19940928
	NO 9403616	A	19950331	NO 1994-3616	19940929
	ZA 9407622	A	19950516	ZA 1994-7622	19940929
	HU 71833	A2	19960228	HU 1994-2806	19940929
	HU 218918	B	20001228		
	US 5532241	A	19960702	US 1994-314734	19940929
	RU 2132848	C1	19990710	RU 1994-35660	19940929
	SK 281793	B6	20010806	SK 1994-1184	19940929
PRAI	DE 1993-4333254	A	19930930		

OS MARPAT 123:9463
GI

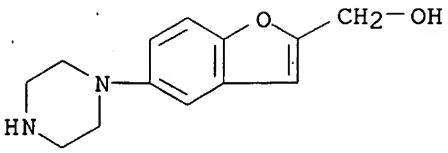


I

AB Title compds. [I; X = (HO-, alkoxy-, cyano-, halo-, R2CO-, R2CH2-substituted) 3-indolyl; R1 = (cyano-, HOCH2-, alkoxymethyl-, R2CO-substituted) benzofuran-5-yl, 2,3-dihydrobenzofuran-5-yl, chroman-6-yl, chroman-4-on-5-yl, 3-chromen-6-yl, chromen-4-on-6-yl; Q = (CH2)m; Z = N, CR3; R2 = OH, alkoxy, amino; R3 = H, OH, alkoxy; m = 2-4], were prepd. having 5-HT1A agonist activity, etc. (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole and 1-(2-hydroxymethylbenzofuran-5-yl)piperazine were refluxed in MeCN to give 1-[4-(5-methoxyindol-3-yl)butyl]-4-(2-hydroxymethylbenzofuran-5-yl)piperazine.

IT **163521-15-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (indolylalkyl)piperidines and -piperazines as drugs)

RN 163521-15-1 CAPLUS
CN 2-Benzofuranmethanol, 5-(1-piperazinyl)- (9CI) (CA INDEX NAME)


O
CH2—OH
N
HN

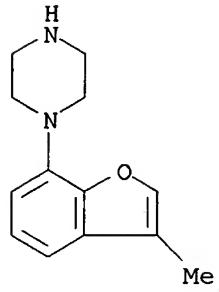
L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:568765 CAPLUS
DN 123:25539
TI N4-Unsubstituted N1-Arylpiperazines as High-Affinity 5-HT1A Receptor Ligands
AU Kuipers, Wilma; van Wijngaarden, Ineke; Kruse, Chris G.; van Amstel, Marian ter Horst; Tulp, Martin Th. M.; IJzerman, Adriaan P.
CS Departments of Medicinal Chemistry, Solvay Duphar Research Laboratories, Weesp, 1380 DA, Neth.
SO Journal of Medicinal Chemistry (1995), 38(11), 1942-54
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English

provided.

AB In order to explore the structural requirements for high 5-HT1A affinity, a series of aryl-substituted N1-phenylpiperazines were synthesized and evaluated for their ability to displace [3H]-8-OH-DPAT from its specific binding sites in rat frontal cortex homogenates. We found 2-methoxy substitution to be favorable, while 4-methoxy substitution was detrimental for 5-HT1A affinity. Substitution with annelated rings at the 2,3-positions was highly favorable for all investigated compds., with the exception of a pyrrole ring. All other substitutions, except fluoro, in this class of heterobicyclic phenylpiperazines decreased affinity in the order: ortho > para > meta. The loss of affinity in the ortho and para positions is probably due to steric factors: the substituents either cause steric hindrance with the receptor or prevent the compd. from adopting the appropriate conformation for binding to the 5-HT1A receptor. Conformational anal. combined with structure-activity relation(SAR) results indicate that the arylpiperazines may bind at the 5-HT1A receptor in a nearly coplanar conformation. Obsd. interactions of the compds. in the 5-HT1A receptor model appeared to be in agreement with SAR data. The arom. part of the arylpiperazine moiety has .pi.-.pi. interactions with the arom. residues Trp161 and Phe362 in helixes IV and VI, resp. The pos. charged protonated basic nitrogen forms a hydrogen bond with the neg. charged Asp116 in helix III. The ammonium-aspartate complex is surrounded by arom. residues Trp358 and Phe361 in helix VI. A lipophilic pocket is formed by Phe362, Ala365 (both helix VI), and the Me group of Thr200 (helix V). In agreement with the model, addn. of a Me substituent to the structure of the benzodioxine analog in this region is favorable for 5-HT1A receptor affinity. Unfavorable positions for substitution with bulky groups, like the 3- and 4-positions in a benzofuran compd. are explained by steric hindrance with the backbone atoms of helix V. Thus, we were able to rationalize the 5-HT1A SAR of existing N1-phenylpiperazines, as well as a series of newly synthesized bicyclic heteroarylpiperazines, in terms of receptor-ligand interactions. Several of these N4-unsubstituted compds. had affinities in the low-nanomolar range.

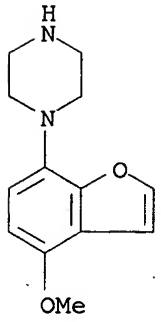
IT **164075-15-4P 164075-16-5P 164075-17-6P**
164075-18-7P 164075-19-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(arylpiperazines as high-affinity 5-HT1A receptor ligands)

RN 164075-15-4 CAPLUS
CN Piperazine, 1-(3-methyl-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



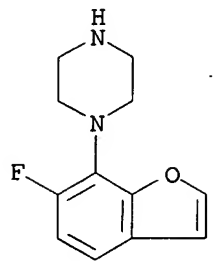
● HCl

RN 164075-16-5 CAPLUS
CN Piperazine, 1-(4-methoxy-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



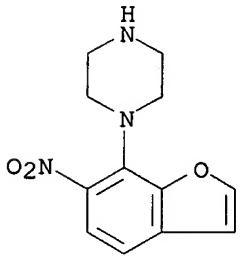
● HCl

RN 164075-17-6 CAPLUS
CN Piperazine, 1-(6-fluoro-7-benzofuranyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 164075-18-7 CAPLUS
CN Piperazine, 1-(6-nitro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 164075-19-8 CAPLUS
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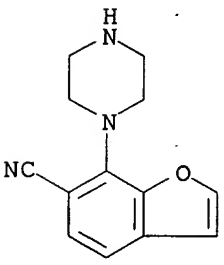
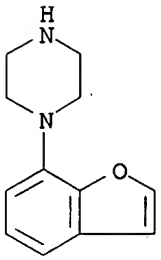


102

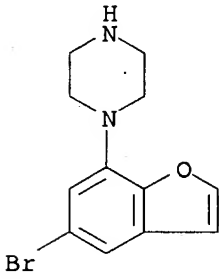
HCl

IT **98224-26-1 105685-03-8 105685-04-9**
105685-20-9 105685-28-7 105685-30-1
105685-32-3 105685-41-4 125294-03-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(arylpiperazines as high-affinity 5-HT1A receptor ligands)

RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-03-8 CAPLUS
CN Piperazine, 1-(5-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-04-9 CAPLUS
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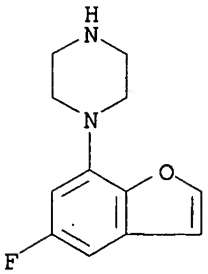

RN 105685-20-9 CAPLUS
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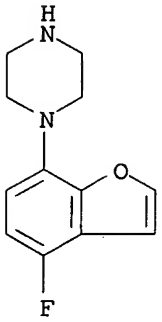

RN 105685-28-7 CAPLUS
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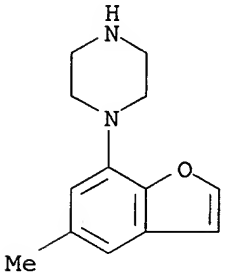
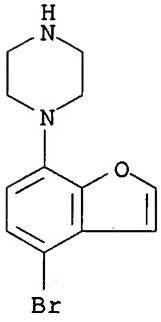
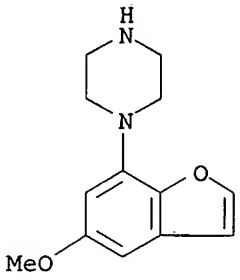


RN 105685-30-1 CAPLUS
CN Piperazine, 1-(4-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-32-3 CAPLUS
CN Piperazine, 1-(5-methoxy-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-41-4 CAPLUS
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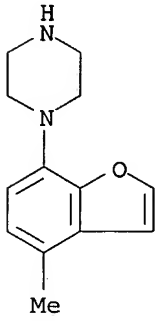
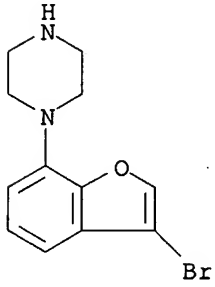


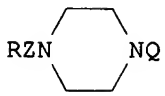
RN 125294-03-3 CAPLUS
CN Piperazine, 1-(3-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:507921 CAPLUS
DN 123:55919
TI Preparation of piperazine derivatives as calmodulin inhibitors.
IN Yamamoto, Kenjiro; Hasegawa, Atsushi; Kubota, Hideki; Ando, Masahiro; Yamaguchi, Hitoshi C. O. Daiichi
PA Daiichi Pharmaceutical Co. Ltd., Japan
SO Eur. Pat. Appl., 70 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 624584	A1	19941117	EP 1994-107496	19940513
	EP 624584	B1	19980819		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
	RU 2124511	C1	19990110	RU 1994-16183	19940512
	CA 2123548	AA	19941115	CA 1994-2123548	19940513
	CA 2123548	C	20030408		
	FI 9402252	A	19941115	FI 1994-2252	19940513
	NO 9401802	A	19941115	NO 1994-1802	19940513
	AU 9463096	A1	19941117	AU 1994-63096	19940513
	AU 677644	B2	19970501		
	CN 1101039	A	19950405	CN 1994-105810	19940513
	CN 1049654	B	20000223		
	JP 07097364	A2	19950411	JP 1994-99391	19940513
	JP 3220591	B2	20011022		
	AT 169914	E	19980915	AT 1994-107496	19940513
	ES 2125372	T3	19990301	ES 1994-107496	19940513
	JP 2002053553	A2	20020219	JP 2001-178197	19940513
	TW 418198	B	20010111	TW 1994-83104731	19940525
	AU 9724952	A1	19970904	AU 1997-24952	19970617
	AU 698486	B2	19981029		
PRAI	JP 1993-112771	A	19930514		
	JP 1994-99391	A3	19940513		

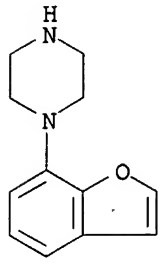
OS MARPAT 123:55919
GI



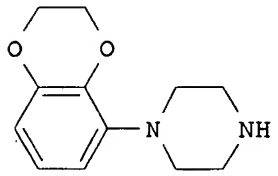
I

AB Title compds. I (Q = aryl, heterocyclyl, diarylmethyl, aralkyl composed of an aryl and an alkylene having C1-6, C1-8 alkyl, C3-8 cycloalkyl, in which the aryl, heterocyclyl, and the aryl moiety of the diarylmethyl and aralkyl may be substituted, etc.; R = bicyclic N-contg. heterocyclyl, (substituted) Ph, etc.; Z = C1-3 alkylene, C2-4 alkenylene, HO-C1-3 alkylene, CO, etc.) or salt thereof, are prepd. I R = 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl, Z = CH2CO, Q = 2,3-ClMeC6H3 (prepn. given) in THF and borane-THF complex were refluxed for 2 h to give I (R = 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl, Z = CH2CH2, Q = 2,3-ClMeC6H3). Calmodulin inhibitory activity was demonstrated.

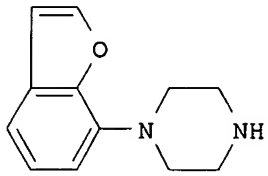
IT **98224-26-1**, 1-(7-Benzofuranyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperazine derivs. as calmodulin inhibitors.)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:579544 CAPLUS
DN 121:179544
TI Structure-Affinity Relationship Studies on 5-HT1A receptor Ligands. 2. Heterobicyclic Phenylpiperazines with N4-Aralkyl Substituents
AU van Steen, Bart J.; van Wijngaarden, Ineke; Tulp, Martin Th. M.; Soudijn, Willem
CS Departments of Medicinal Chemistry and Pharmacology, Solvay Duphar Research Laboratories, Weesp, 1380 DA, Neth.
SO Journal of Medicinal Chemistry (1994), 37(17), 2761-73
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI

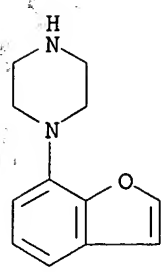


I



II

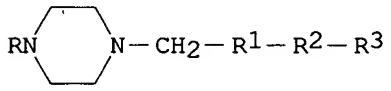
AB Structure-affinity relationship (SAR) studies for the 5-HT1A receptor site are presented for two series of heterobicyclic phenylpiperazines with N4-aralkyl substituents: 4-aralkyl derivs. of 1-(2,3-dihydro-1,4-benzodioxin-5-yl)piperazine (I) and 1-(benzo[b]furan-7-yl)piperazine (II). Their affinities for 5-HT1A receptors range from 0.15 to 28 nM and thus emphasize the importance of N4-substitution. By combining the SAR of these N4-aralkyl series with the recently published11 SAR of the N4-alkyl-substituted phenylpiperazines, the nature of the interaction of the N4-substituted phenylpiperazines and the 5-HT1A receptor was further examd. using comparative mol. field anal. (CoMFA). To discriminate between two postulated hypotheses, CoMFA models were built and validated utilizing cross-validation, bootstrapping, and randomizing techniques. The model based on a N4-substituent alignment in which all N4-substituents are equally oriented in space was selected for further evaluation. According to the CoMFA/PLS anal., the steric and electrostatic field properties contribute in a 98:2 ratio to the affinity found for the 5-HT1A receptor. Increasing steric bulk was found to be pos. as well as neg. related to affinity depending on the distance of the bulk's center from the N4-nitrogen. The location of these steric CoMFA contour levels are well defined in space when the defined alignment rules are followed. Because CoMFA does not take hydrogen bonding into account, this could indicate that the contribution of the amide function (its ability to interact through hydrogen bonding), as present in the N4-substituents, to affinity is of minor importance.
IT **98224-26-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of benzofuranylpiperazine derivs.)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:549110 CAPLUS
DN 121:149110
TI Thiophene and pyridine antipsychotic agents
IN Scott, Malcolm K.; Reitz, Allen B.; Villani, Frank J., Jr.; Rasmussen, C. Royce
PA McNeilab, Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5332732	A	19940726	US 1992-943662	19920911
PRAI US 1992-943662		19920911		

OS MARPAT 121:149110
GI

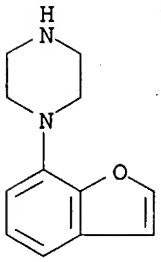


I

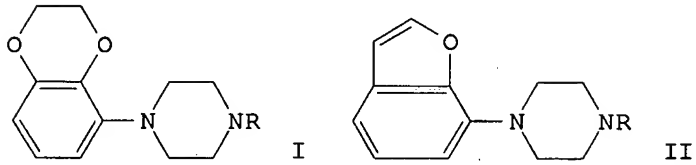
AB The compds. (I), wherein R is aryl, alkoxy-substituted aryl or benzofuranyl; R1 is thiophene or pyridine residue; R2 is CO or CH2; and R3 is selected from any of piperizine, piperidine, hexahydroazepine, morpholine, thiomorpholine or pyrrolidine residue, which may be substituted with one or more oxo groups are disclosed as antipsychotic agents with minimal side effects such as extrapyramidal symptoms and increased acid stability. For example, 1-[[5-[[1-[2-(1-methylethoxy)phenyl]-4-piperazinyl]methyl]-2-thienyl]carbonyl]piperidine fumarate was prepd. and its antipsychotic activity was detd. by the block of conditioned avoidance responding test with rats.

IT **98224-26-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of antipsychotic agent)

RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)

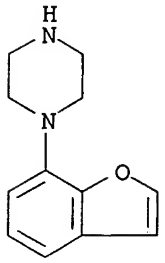


L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1993:649911 CAPLUS
DN 119:249911
TI Structure-affinity relationship studies on 5-HT1A receptor ligands. 1. Heterobicyclic phenylpiperazines with N4-alkyl substituents
AU van Steen, Bart J.; van Wijngaarden, Ineke; Tulp, Martin T. M.; Soudijn, Willem
CS Dep. Med. Chem. Pharmacol., Solvay Duphar Res. Lab., Weesp, 1380 AA, Neth.
SO Journal of Medicinal Chemistry (1993), 36(19), 2751-60
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI

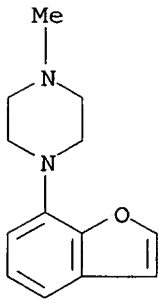


AB Structure-affinity relationship studies for 5-HT1A receptor site are presented for two series of heterobicyclic phenylpiperazines with N4-alkyl substituents: 4-alkyl derivs. of 1-(2,3-dihydro-1,4-benzodioxin-5-yl)piperazine (I, R = H) and 1-(benzo[b]furan-7-yl)piperazine (II, R = H). The linear and branched hydrocarbon chain derivs. up to n-decyl were synthesized and evaluated for their ability to displace [3H]-2-(di-n-propylamino)-8-hydroxytetralin from its specific binding sites in rat frontal cortex homogenates. All compds. displayed a nanomolar affinity for the 5-HT1A receptor. In both series the N-Et and N-Pr substituted derivs. have similar affinities, being slightly but statistically significantly less active than the N-methyl-substituted derivs. Elongation of the hydrocarbon chain increases the affinity for the central 5-HT1A receptor site, reaching a local max. for I (R = n-hexyl) (Ki = 0.50 nM) and I (R = n-hexyl) (Ki = 0.54 nM). Assuming that the arylpiperazine derivs. at the 5-HT1A binding site are in the ionic state, ionization consts. were detd. in order to evaluate the use of the local inhibition const., Ki+, as a more convenient parameter to study the structure-affinity relationships. However, the Ki+ could not account for the specific N4-substituent effects found.

IT **98224-26-1**
RL: PRP (Properties)
(alkylation or acylation and binding affinity of, for 5-HT1A receptor)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)

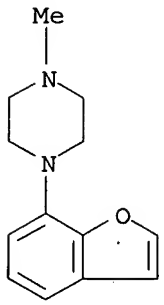


IT **151144-00-2P 151144-16-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and binding affinity of, for 5-HD1A receptor)
RN 151144-00-2 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

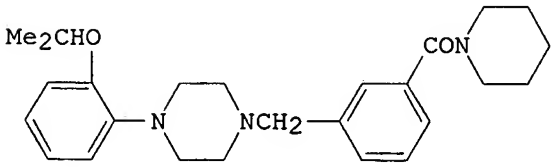
RN 151144-16-0 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1993:517276 CAPLUS
DN 119:117276
TI Novel 4-arylpiperazines and 4-arylpiperidines
IN Reitz, Allen B.
PA McNeilab, Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9304682	A1	19930318	WO 1992-US7754	19920911
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MW, NO, RO, RU, SD				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	ZA 9109629	A	19931206	ZA 1991-9629	19911205
	HU 68963	A2	19950828	HU 1993-1362	19911220
	HU 217068	B	19991129		
	AU 9226599	A1	19930405	AU 1992-26599	19920911
	AU 657799	B2	19950323		
	EP 563345	A1	19931006	EP 1992-920313	19920911
	EP 563345	B1	20020703		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
	HU 64535	A2	19940128	HU 1993-1361	19920911
	JP 06502870	T2	19940331	JP 1993-505525	19920911
	JP 2941945	B2	19990830	JP 1992-505525	19920911
	RU 2139867	C1	19991020	RU 1993-41055	19920911
	SG 70980	A1	20000321	SG 1996-5506	19920911
	AT 219938	E	20020715	AT 1992-920313	19920911
	ES 2179822	T3	20030201	ES 1992-920313	19920911
	NO 9301695	A	19930527	NO 1993-1695	19930510
	NO 9301694	A	19930630	NO 1993-1694	19930510
	US 5569659	A	19961029	US 1995-442600	19950517
PRAI	US 1991-757881	A	19910911		
	US 1992-944006	B1	19920911		
	WO 1992-US7754	A	19920911		
	WO 1992-US9082	W	19921220		
	US 1994-365978	B1	19941228		

OS MARPAT 119:117276
GI



I

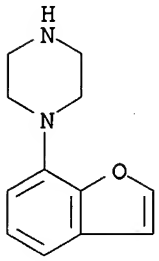
AB Title compds. 4-RX(CH2)nCR1R2X1WNR3R4 [X = (un)substituted piperazino, piperidino; X1 = (un)substituted Ph; R = aryl; CR1R2 = CH2, CO, 1,1-alkanediyl, CHOH; W = CO, CS, SO2; NR3R4 = amino; n = 0-4] (113

compds.) were prepd. as antipsychotic agents. Thus, 3-ClCH2C6H4COCl was treated with piperidine and N-(2-isopropoxyphenyl)piperazine to give the piperazine I which had an ED50 against apomorphine-induced emesis in dogs of 0.038mg/kg orally in dogs 1h before treatment with apomorphine..

IT **98224-26-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. from, of antipsychotic arylpiperidines and arylpiperazines)

RN 98224-26-1 CAPLUS

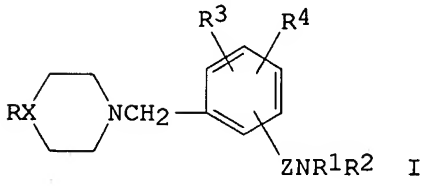
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1993:495555 CAPLUS
DN 119:95555
TI Novel 4-arylpiperazines and 4-arylpiperidines
IN Reitz, Alan B.
PA McNeilab, Inc., USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9304684	A1	19930318	WO 1991-US9082	19911220
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MW, NO, RO, SD, SU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	ZA 9109629	A	19931206	ZA 1991-9629	19911205
	AU 9213633	A1	19930405	AU 1992-13633	19911220
	EP 562049	A1	19930929	EP 1992-906123	19911220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	JP 06502183	T2	19940310	JP 1992-506154	19911220
	HU 68963	A2	19950828	HU 1993-1362	19911220
	HU 217068	B	19991129		
	HU 64535	A2	19940128	HU 1993-1361	19920911
	SG 70980	A1	20000321	SG 1996-5506	19920911
	ES 2179822	T3	20030201	ES 1992-920313	19920911
	NO 9301695	A	19930527	NO 1993-1695	19930510
	US 5569659	A	19961029	US 1995-442600	19950517
PRAI	US 1991-757881	A	19910911		
	WO 1991-US9082	A	19911220		
	US 1992-944006	B1	19920911		
	WO 1992-US9082	W	19921220		
	US 1994-365978	B1	19941228		

OS MARPAT 119:95555
GI

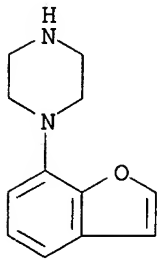


I

AB Piperazines and piperidines I [X = N, CH; Z = CO, CS, SO2; R = (un)substituted Ph, heteroaryl; R1, R2 = H, C1-C8 alkyl, (un)substituted Ph, aralkyl, acyl, C4-C10 cycloalkyl, NR1R2 may form a ring; R3, R4 = H, C1-C8 alkyl or alkoxy, NO2, halo, amino, etc.] were prepd. as novel antipsychotic agents (dopamine D2 binding activities tabulated for 82 synthesized compds.). Thus, m-ClCH2C6H4COCl was treated with piperidine in THF, then piperidine and N-(2-isopropoxyphenyl)piperazine fumarate, to give 1-[3-[[4-(2-isopropoxyphenyl)-1-piperazinyl]methyl]benzoyl]piperidine, which is isolated as the HCl salt.

IT **98224-26-1**
RL: RCT (Reactant); RACT (Reactant or reagent)

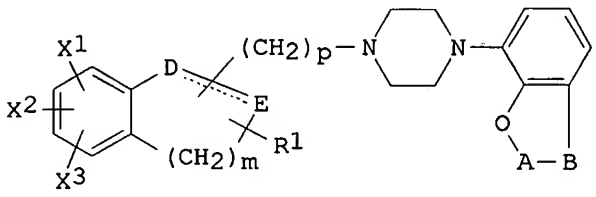
(alkylation of, with benzyl chloride deriv.)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



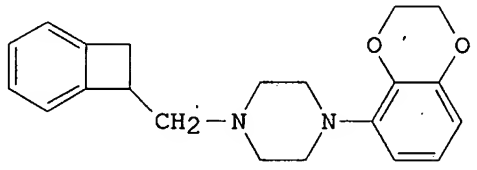
L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1992:551017 CAPLUS
DN 117:151017
TI 1,4-Disubstituted piperazines, process for their preparation, and pharmaceutical compositions containing them as 5-HT1A receptor antagonists
IN Peglion, Jean Louis; Millan, Mark; Rivet, Jean Michel
PA Adir et Compagnie, Fr.
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 490772	A1	19920617	EP 1991-403378	19911213
EP 490772	B1	19950726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2670491	A1	19920619	FR 1990-15631	19901214
FR 2670491	B1	19930205		
CA 2057578	AA	19920615	CA 1991-2057578	19911213
CA 2057578	C	20010911		
AU 9189762	A1	19920618	AU 1991-89762	19911213
AU 638368	B2	19930624		
ZA 9109845	A	19920930	ZA 1991-9845	19911213
US 5194437	A	19930316	US 1991-807106	19911213
ES 2077199	T3	19951116	ES 1991-403378	19911213
JP 06025217	A2	19940201	JP 1991-361024	19911216
JP 07035377	B4	19950419		
PRAI FR 1990-15631	A	19901214		

OS MARPAT 117:151017
GI



I



II

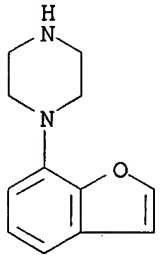
AB Title compds. I [X1-X3 = H, halo, alkyl, OH, alkoxy, alkylthio, CF3, NO2, amino, NHAc; or 2 of X form OCH2O or OCH2CH2O; R1 = H, alkyl; DE = (CH2)nCH2 or CH:CH; m, n = 0-3; m+n .gtoreq. 1; p = 0-6; AB = (CH2)2O, (CH2)3O, CH:CH, CH2CH2, COCH:CH], both racemic and optically active, are prepd. for treatment of central nervous and neuroendocrine disorders (anxiety, depression, psychosis, diabetes, etc.). For example,

N-alkylation of N-(benzodioxan-5-yl)piperazine by (benzocyclobutan-1-yl)methyl iodide and Na2CO3 in MIBK gave (after crystn. from iso-Pr2O) 29% racemic title compd. II. In an in vitro test for binding to rat hippocampal 5-HT1A receptors (displacement of [3H]-8-OH-DPAT), pKi was 8.74 for II and 7.93 for buspirone. Addnl. data include 28 synthetic examples, and in vivo animal expt. results (tail flick, body posture, corticosterone secretion, and hypothermia) for selected I.

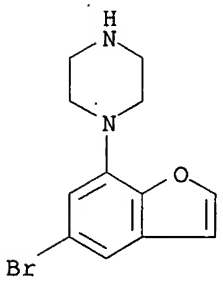
IT **98224-26-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for 5-HT1A antagonist)

RN 98224-26-1 CAPLUS

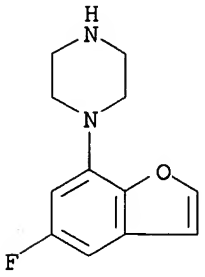
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



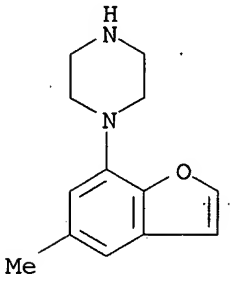
L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1990:91144 CAPLUS
DN 112:91144
TI Determination of drug protein-binding by high-performance liquid chromatography using a chemically bonded bovine albumin stationary phase
AU Lammers, N.; De Bree, H.; Groen, C. P.; Ruijten, H. M.; De Jong, B. J.
CS Anal. Dev. Dep., Duphar B. V., Weesp, 1380 DA, Neth.
SO Journal of Chromatography (1989), 496(2), 291-300
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB A liq. chromatog. method for the detn. of the degree of protein-binding of drugs has been established, using a stationary phase to which bovine serum albumin has been bonded chem. In a structurally heterogeneous group of compds., results of the method correlate well with protein-binding data obtained by equil. dialysis. Within a series of analogous piperazines a good correlation is found. The chromatog. method allows automation of the measurement of protein-binding of large series of compds. with protein-binding ranging between 10 and 99%. The method is not expensive and is less time consuming than equil. dialysis. Only 1 mg of tech.-grade material is required to det. the protein-binding, and radioactive labeling of the material is not necessary.
IT **105685-03-8 105685-04-9 105685-28-7**
105685-29-8 125294-03-3 125294-04-4
RL: BIOL (Biological study)
(binding of, by proteins, HPLC for evaluation of)
RN 105685-03-8 CAPLUS
CN Piperazine, 1-(5-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



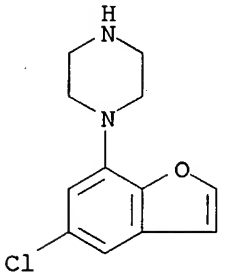
RN 105685-04-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



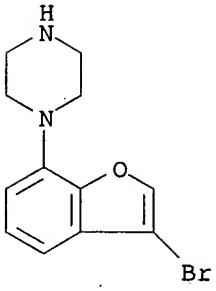
RN 105685-28-7 CAPLUS
CN Piperazine, 1-(5-methyl-7-benzofuranyl)- (9CI) (CA INDEX NAME)



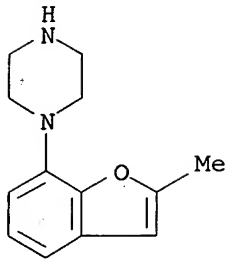
RN 105685-29-8 CAPLUS
CN Piperazine, 1-(5-chloro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



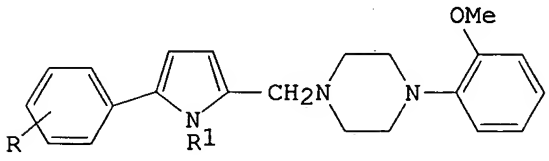
RN 125294-03-3 CAPLUS
CN Piperazine, 1-(3-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



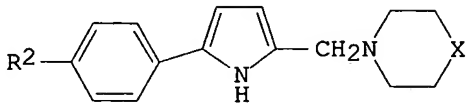
RN 125294-04-4 CAPLUS
CN Piperazine, 1-(2-methyl-7-benzofuranyl)- (9CI) (CA INDEX NAME)


H
N
N
O
Me

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1988:528952 CAPLUS
DN 109:128952
TI 2-Phenylpyrroles as conformationally restricted benzamide analogs. A new class of potential antipsychotics. 2
AU Van Wijngaarden, Ineke; Kruse, Chris G.; Van der Heyden, Jan A. M.; Tulp, Martin T. M.
CS Dep. Med. Chem., Duphar Res. Lab., Weesp, 1380 AA, Neth.
SO Journal of Medicinal Chemistry (1988), 31(10), 1934-40
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 109:128952
GI



I

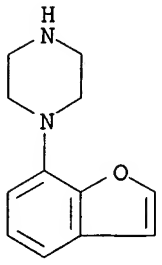


II

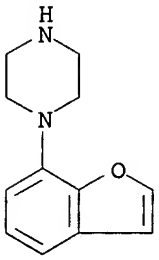
AB A series of 2-phenylpyrrole Mannich bases I (R = H, 4-F, 4-CF3, 4-CHMe2, 3-Cl, 2-OMe, 2,6-F2; R1 = H, Me, Ph, CF2CF2CF3, CH2CH2OH) and II (R2 = H, F; X = NC6H4CF3-3, NC6H4F-4, CHPh, CHC6H4OMe-2, etc.) was synthesized and screened in pharmacol. models for antipsychotic activity and extrapyramidal effects. Structure modifications of I (R1 = 4-F, R2 = H), the prototype of a new class of sodium-independent atypical dopamine D-2 antagonists, resultes in II (R2 = F, X = imino-7-benzofuranyl) (III), which was an even more potent and selective D-2 antagonist than the parent compd. The excellent oral activity in the apomorphine-induced climbing behavior and the conditioned avoidance response tests and the absence of catalepsy make III particularly promising as a potential antipsychotic with a low propensity to induce acute extrapyramidal side effects.

IT **98224-26-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Mannich reaction of, with phenylpyrrole deriv. and formaldehyde)

RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



IT **115464-81-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Mannich reaction of, with phenylpyrroles and formaldehyde)
RN 115464-81-8 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

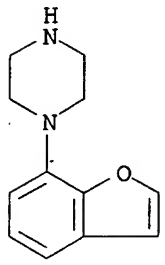


● HCl

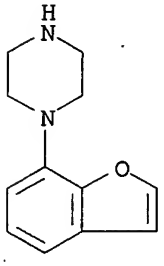
L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1987:5080 CAPLUS
DN 106:5080
TI Preparation of piperazines as psychotropics
PA Duphar International Research B. V., Neth.
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61152655	A2	19860711	JP 1985-285841	19851220
	EP 189612	A1	19860806	EP 1985-202085	19851216
	EP 189612	B1	19921104		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 81975	E	19921115	AT 1985-202085	19851216
	DK 8505860	A	19860622	DK 1985-5860	19851217
	AU 8551391	A1	19860626	AU 1985-51391	19851218
	AU 588015	B2	19890907		
	ZA 8509663	A	19860827	ZA 1985-9663	19851218
	ES 550104	A1	19861216	ES 1985-550104	19851218
	CA 1271475	A1	19900710	CA 1985-497977	19851218
	IL 77395	A1	19910816	IL 1985-77395	19851219
	US 5424313	A	19950613	US 1993-135189	19931012
PRAI	NL 1984-3917		19841221		
	EP 1985-202085		19851216		
	US 1985-810094		19851218		
	US 1988-161240		19880218		
	US 1988-268886		19881108		
	US 1990-471694		19900126		
	US 1990-593280		19901005		
	US 1991-802715		19911206		
	US 1993-3683		19930113		

GI For diagram(s), see printed CA Issue.
AB The title compds. (I; R1 = alkyl, cycloalkyl, alkoxyalkyl, etc.; p = 0-3; R2 = alkyl; n and q = 0 or 1; R3 = alkylidene, oxo, thioxo, etc.; m = 0-2; A = 5-7 member ring contg. 1-3 O, S, or N), useful as psychotropics, are prepd. Thus, 1-[5-(1,4-benzodioxanyl]]piperazine-HCl was prepd. by treating 5-amino-1,4-benzodioxane with bis(2-chloroethyl)amine-HCl. No pharmacol. activities are described.
IT **98224-26-1P 105684-36-4P 105684-38-6P**
105684-39-7P 105684-48-8P 105684-69-3P
105684-78-4P 105684-79-5P 105684-80-8P
105684-81-9P 105684-83-1P 105684-85-3P
105684-92-2P 105684-93-3P 105685-03-8P
105685-04-9P 105685-07-2P 105685-20-9P
105685-28-7P 105685-29-8P 105685-30-1P
105685-31-2P 105685-32-3P 105685-34-5P
105685-41-4P 105685-42-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as psychotropic)
RN 98224-26-1 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)

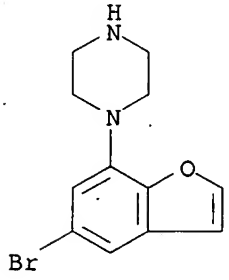


RN 105684-36-4 CAPLUS
CN Piperazine, 1-(7-benzofuranyl)-, dihydrochloride (9CI) (CA INDEX NAME)



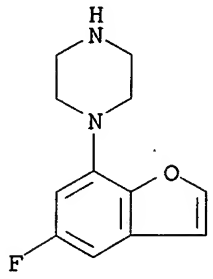
●2 HCl

RN 105684-38-6 CAPLUS
CN Piperazine, 1-(5-bromo-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



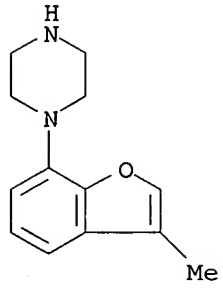
● HCl

RN 105684-39-7 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)-, dihydrochloride (9CI) (CA INDEX NAME)



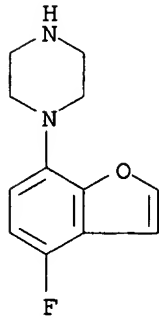
●2 HCl

RN 105684-48-8 CAPLUS
CN Piperazine, 1-(3-methyl-7-benzofuranyl)-, dihydrochloride (9CI) (CA INDEX NAME)



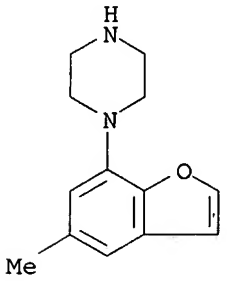
●2 HCl

RN 105684-69-3 CAPLUS
CN Piperazine, 1-(4-fluoro-7-benzofuranyl)-, dihydrochloride (9CI) (CA INDEX NAME)



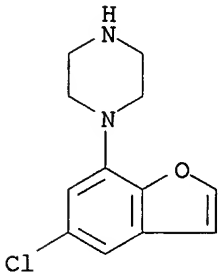
2 HCl

RN 105684-78-4 CAPLUS
CN Piperazine, 1-(5-methyl-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



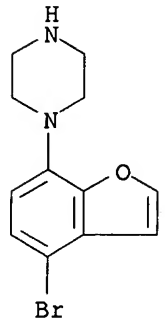
● HCl

RN 105684-79-5 CAPLUS
CN Piperazine, 1-(5-chloro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



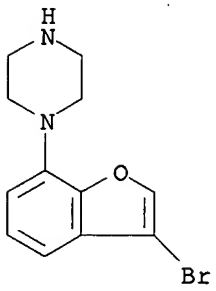
● HCl

RN 105684-80-8 CAPLUS
CN Piperazine, 1-(4-bromo-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



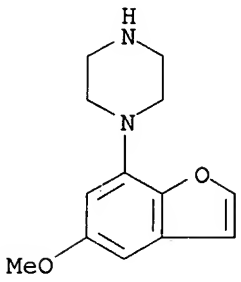
● HCl

RN 105684-81-9 CAPLUS
CN Piperazine, 1-(3-bromo-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



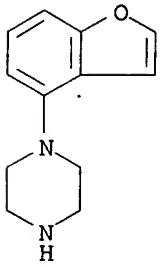
● HCl

RN 105684-83-1 CAPLUS
CN Piperazine, 1-(5-methoxy-7-benzofuranyl)-, dihydrochloride (9CI) (CA INDEX NAME)



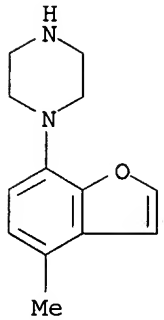
● 2 HCl

RN 105684-85-3 CAPLUS
CN Piperazine, 1-(4-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



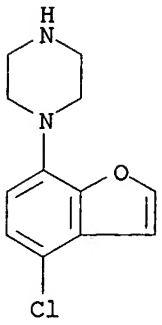
● HCl

RN 105684-92-2 CAPLUS
CN Piperazine, 1-(4-methyl-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)



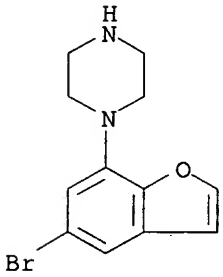
HCl

RN 105684-93-3 CAPLUS
CN Piperazine, 1-(4-chloro-7-benzofuranyl)-, monohydrochloride (9CI) (CA INDEX NAME)

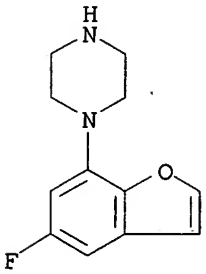


● HCl

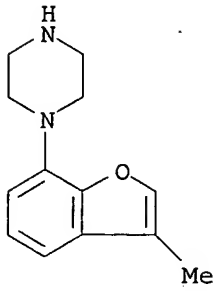
RN 105685-03-8 CAPLUS
CN Piperazine, 1-(5-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



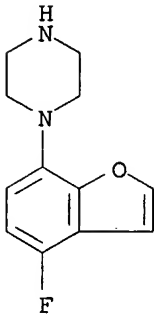
RN 105685-04-9 CAPLUS
CN Piperazine, 1-(5-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-07-2 CAPLUS
CN Piperazine, 1-(3-methyl-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-20-9 CAPLUS
CN Piperazine, 1-(4-fluoro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



RN 105685-28-7 CAPLUS
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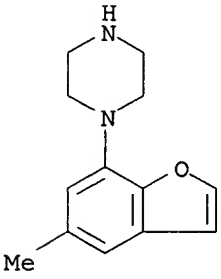
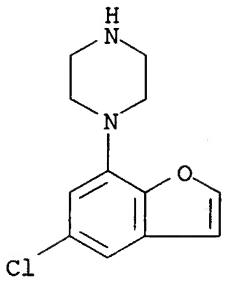


RN 105685-29-8 CAPLUS
CN Piperazine, 1-(5-chloro-7-benzofuranyl)- (9CI) (CA INDEX NAME)



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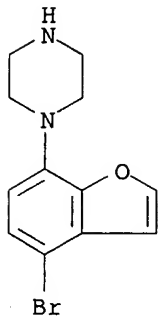

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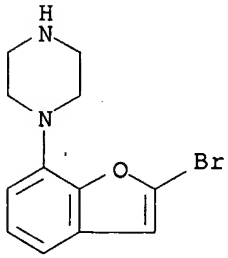
CN Piperazine, 1-(2-bromo-7-benzofuranyl)- (9CI) (CA INDEX NAME)



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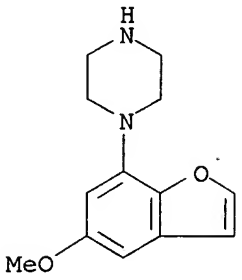

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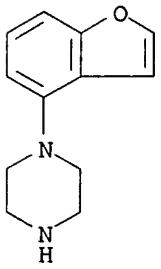

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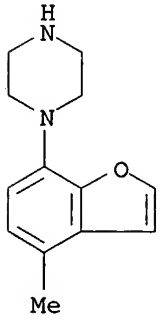

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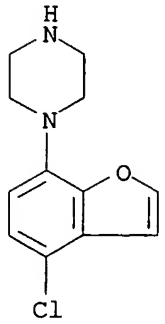
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L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1985:523520 CAPLUS
DN 103:123520
TI Blood-pressure lowering piperazine derivatives
IN Hartog, Jan; Wouters, Wouter; Van Wijngaarden, Ineke
PA Duphar International Research B. V., Neth.
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 138280	A2	19850424	EP 1984-201477	19841015
	EP 138280	A3	19850612		
	EP 138280	B1	19880608		
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	DK 169601	B1	19941219		
	ZA 8408005	A	19850529	ZA 1984-8005	19841012
	AU 8434165	A1	19860911	AU 1984-34165	19841012
	AU 577802	B2	19881006		
	ES 536755	A1	19851216	ES 1984-536755	19841015
	AT 34980	E	19880615	AT 1984-201477	19841015
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	JP 06092934	A2	19940405	JP 1993-178104	19930719
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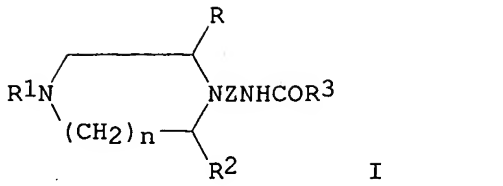

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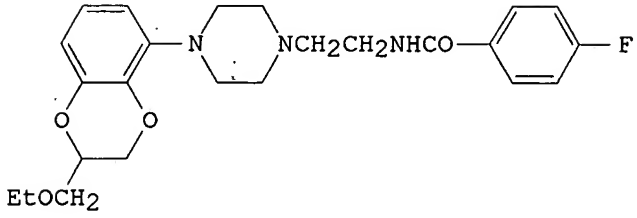


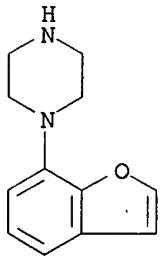
II

AB N-(Piperazinylethyl)carboxamides I [R,R2 = H, alkyl; R1 = furanyl, pyranyl, indenyl, (un)substituted benzoheterocyclyl; R3 = (un)satd. cycloalkyl, (un)substituted aryl, heteroaryl; Z = CH2CH2, CHMeCH2; n = 1,2] were prepd. Thus, 1-[2-(ethoxymethyl)-1,4-benzodioxan-5-yl)]piperazine was treated with 1-(4-fluorobenzoyl)aziridine to give benzamide II.cntdot.HCl. In rats via a stomach tube, I decreased mean blood pressure 20% at 1-25 mg/kg.

IT **98224-26-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction of, with acylaziridines)

RN 98224-26-1 CAPLUS

CN Piperazine, 1-(7-benzofuranyl)- (9CI) (CA INDEX NAME)



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